

TEXT

MAUPIN 09/835,371

=> D HIS

(FILE 'HOME' ENTERED AT 10:17:18 ON 05 JUL 2002)

FILE 'HCAPLUS' ENTERED AT 10:17:26 ON 05 JUL 2002

L1 202 S UHLMANN E?/AU  
L2 105 S BREIPOHL G?/AU  
L3 95 S WILL W?/AU  
L4 377 S L1-3  
L5 69 S POLYNUCLEIC ACID  
L6 0 S L4 AND L5  
L7 134728 S POLYAMIDE  
L8 14 S L4 AND L7  
L9 8 S L8 AND POLYAMIDE/TI  
SELECT RN L9 1-8

inventor  
search

FILE 'REGISTRY' ENTERED AT 10:21:17 ON 05 JUL 2002

L10 76 S E1-76

FILE 'HCAPLUS' ENTERED AT 10:21:54 ON 05 JUL 2002

L11 5 S L9 AND L10  
L12 8 S L11 OR L9 8 citations w/ 76 cpts displayed  
L13 6 S L8 NOT L9  
L14 5523 S PNA OR NUCLEOPOLYPEP? OR POLYAMIDO(W)OLIGO? OR PEPTIDE(W)NUCL  
L15 5592 S L5 OR L14  
L16 2710 S ?PHOSPH?(5A)BACKBONE  
L17 27 S L7 AND L16  
L18 25 S L17 NOT L12  
L19 17 S L18 AND (?PHOSPHORYL? OR ?PHOSPHAT?)  
L20 15 S L18 AND (?PHOSPHORYL? OR ?PHOSPHAT?)/TI,AB  
L21 2176 S ?PHOSPH?(2A)BACKBONE  
L22 13 S L21 AND L20  
SELECT RN L22 1-13

FILE 'REGISTRY' ENTERED AT 10:39:55 ON 05 JUL 2002

L23 101 S E77-177  
L24 8 S L23 AND (P AND N)/ELS  
L25 93 S L23 NOT L24  
L26 0 S L25 AND P/ELS  
L27 61 S L25 AND N/ELS

FILE 'HCAPLUS' ENTERED AT 10:44:43 ON 05 JUL 2002

L28 5 S L22 AND L27  
L29 13 S L22 OR L28 13 cites w/ 61 cpds displayed  
L30 62 S L16(P)L15  
L31 50 S L30 NOT L17  
L32 26 S L30 NOT REPLAC?/AB  
L33 3 S L32 AND POLYAMID?  
L34 112 S L16(3A) (HAVING OR HAS OR INCLUD?)  
L35 16 S L15 AND L34  
L36 13 S L35 AND (?PHOSPHORYL? OR ?PHOSPHAT?)  
L37 2 S L36 NOT REPLAC?/AB  
L38 13 S L32 AND (?PHOSPHORYL? OR ?PHOSPHAT?)  
L39 10 S L38 NOT (SUBSTITUT? OR REPLAC? OR EXCHANG?)  
L40 9 S L39 NOT LACK?  
L41 7 S L40 NOT MODIF?  
L42 1 S L41 AND PREPAR?  
L43 1 S L42 NOT L12 1 cite w/ 63 cpds displayed  
SELECT RN L43 1

} trying to get rid of  
cites where phosp.  
grp is  
replaced  
by poly amido

TEXT

MAUPIN 09/835,371

Inventor search

=&gt; d ibib abs hitstr 1

L12 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:745539 HCAPLUS

DOCUMENT NUMBER: 130:66670

TITLE: PNA: synthetic **polyamide** nucleic acids with unusual binding propertiesAUTHOR(S): **Uhlmann, Eugen**; Peyman, Anusch;  
**Breipohl, Gerhard**; Will, David W.

CORPORATE SOURCE: Hoechst Marion Rouseel Deutschland GmbH, Frankfurt am Main, D-65926, Germany

SOURCE: Angewandte Chemie, International Edition (1998), 37(20), 2796-2823

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 160 refs. : since the investigation of oligonucleotides as potential therapeutics that target nucleic acids was initiated, the search for nucleic acid mimetics with improved properties, such as strengthened binding-affinity to complementary nucleic acids, increased biol. stability, and improved cellular uptake, has accelerated rapidly. In 1991 Nielsen et al. first described what is undoubtedly one of the most interesting of the new derivs., the **polyamide** or peptide nucleic acids (PNAs), in which the entire sugar-phosphate backbone is replaced by an N-(2-aminoethyl)glycine **polyamide** structure. Since even minor structural changes in oligonucleotides, such as the replacement of an oxygen atom by sulfur (phosphorothioates), or by a neutral Me group (Me phosphonates), result in a decrease in binding affinity, it was even more astonishing to find that the drastic structural changes in PNAs result in nucleic acid mimetics with higher binding-affinity to complementary DNA and RNA than unmodified oligonucleotides. The remarkable binding properties of PNAs have spawned a rapidly expanding new field of research, where the targets are the synthesis of PNAs and PNA analogs, and their application as therapeutics, DNA diagnostics, and tools in biotechnol. In add., investigation of PNAs and PNA/DNA chimeras can be used to generate information on the structural and biol. properties of DNA and RNA themselves. Furthermore, they may trigger the generation of new ideas on models for alternative living systems and potential transitions between different genetic systems.

REFERENCE COUNT: 171 THERE ARE 171 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2

L12 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1997:591221 HCAPLUS  
 DOCUMENT NUMBER: 127:262910  
 TITLE: Synthesis of **polyamide** nucleic acids (PNAs),  
 PNA/DNA-chimeras and phosphonic ester nucleic acids  
 (PHONAs)  
 AUTHOR(S): **Uhlmann, E.**; Will, D. W.; **Breipohl,**  
**G.**; Peyman, A.; Langner, D.; Knolle, J.;  
 O'Malley, G.  
 CORPORATE SOURCE: Central Pharma Res., Hoechst AG, Frankfurt, D-65926,  
 Germany  
 SOURCE: Nucleosides & Nucleotides (1997), 16(5 & 6), 603-608  
 CODEN: NUNUD5; ISSN: 0732-8311  
 PUBLISHER: Dekker  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 18 refs. on methods for the prepn. of **polyamide**  
 nucleic acids (PNAs) and derivs. thereof by different synthetic routes is  
 described. The first strategy makes use of 9-Fluorenylmethoxycarbonyl  
 (Fmoc)/monomethoxytrityl (Mmt) protected building blocks, whereas the  
 second approach involves the use of Mmt/acyl protected monomers, which  
 allows the prepn. of PNA/DNA chimera. Addnl., a block coupling strategy  
 is presented for the synthesis of novel phosphonic ester nucleic acids  
 (PHONAs).

=> d ind 2

L12 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS  
 CC 33-0 (Carbohydrates)  
 Section cross-reference(s): 34  
 ST monomethoxytrityl protective group DNA prepn review;  
 fluorenylmethoxycarbonyl protective group DNA prepn review; phosphonic  
 ester nucleic acid prepn review; PNA DNA chimera prepn review;  
**polyamide** nucleic acid DNA chimera review  
 IT Protective groups  
 (Fmoc/MMTr; prepn. of **polyamide** nucleic acids,  
 PNA/DNA-chimeras and phosphonic ester nucleic acids)  
 IT Peptide nucleic acids  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (PNA/DNA-chimeras; prepn. of **polyamide** nucleic acids,  
 PNA/DNA-chimeras and phosphonic ester nucleic acids)  
 IT DNA  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (PNA/DNA-chimeras; prepn. of **polyamide** nucleic acids,  
 PNA/DNA-chimeras, and phosphonic ester nucleic acids)  
 IT Nucleic acids  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (phosphonic ester; prepn. of **polyamide** nucleic acids,  
 PNA/DNA-chimeras, and phosphonic ester nucleic acids)

=> d ibib abs hitstr 3

L12 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:412348 HCAPLUS

DOCUMENT NUMBER: 127:66086

TITLE: Synthesis of **polyamide** nucleic acids using a new protection scheme which is fully compatible with oligonucleotide synthesis

AUTHOR(S): **Breipohl, G.**; Will, D.W.; Langner, D.; Knolle, J.; **Uhlmann, E.**

CORPORATE SOURCE: Hoechst AG, Allgemeine Pharma Forschung G838, Frankfurt am Main, D-65926, Germany

SOURCE: Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemical Diversity, Collected Papers, International Symposium, 4th, Edinburgh, Sept. 12-16, 1995 (1996), Meeting Date 1995, 61-64. Editor(s): Epton, Roger. Mayflower Scientific: Birmingham, UK.  
CODEN: 64ONA9

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium on the prepn. of novel monomethoxytrityl (Mmt) protected monomers for the prepn. of **polyamide** nucleic acids (PNAs) is described. Use of the acid-labile Mmt group as temporary protection for the primary amino function of aminoethylglycine in combination with base-labile acyl-type protecting groups for the nucleobases allow a synthetic strategy similar to std. oligo-nucleotide synthesis conditions. PNAs of mixed base sequence have been synthesized with this method.

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L12 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:224058 HCAPLUS

DOCUMENT NUMBER: 126:274010

TITLE: Recognition of Uncharged **Polyamide**-Linked Nucleic Acid Analogs by DNA Polymerases and Reverse Transcriptases

AUTHOR(S): Lutz, Michael J.; Benner, Steven A.; Hein, Silvia; **Breipohl, Gerhard; Uhlmann, Eugen**

CORPORATE SOURCE: Department of Chemistry, Swiss Federal Institute of Technology, Zurich, CH-8092, Switz.

SOURCE: Journal of the American Chemical Society (1997), 119(13), 3177-3178

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB **Polyamide**-linked nucleic acid (PNAs) are DNA mimics in which the deoxyribose phosphate backbone is replaced by uncharged N-(2-aminoethyl)glycine units. Here, the authors report that several DNA polymerases and reverse transcriptases are able to elongate a PNA primer with a nucleophilic 3'-hydroxyl group, despite the fact that no phosphate residues are present in the PNA primer to interact with the polymerase. Enzymic synthesis of PNA-DNA chimeras might have implications for the use of modified PNAs in advanced diagnostic systems, allowing facilitated screening for genetic mutations, and as tools for studying structure-function relationships in enzymes that process nucleic acids. These results are also interesting in the light of models for the origin of life that propose an evolutionary linkage between a PNA-like and a DNA-protein world.

IT 9012-90-2, DNA polymerase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(I; recognition of uncharged DNA mimics (peptide nucleic acid primers) by DNA polymerases and reverse transcriptases)

RN 9012-90-2 HCAPLUS

CN Nucleotidyltransferase, deoxyribonucleate (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 9068-38-6, Reverse transcriptase 188901-47-5

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(recognition of uncharged DNA mimics (peptide nucleic acid primers) by DNA polymerases and reverse transcriptases)

RN 9068-38-6 HCAPLUS

CN Nucleotidyltransferase, deoxyribonucleate, RNA-dependent (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 188901-47-5 HCAPLUS

CN DNA, d(G-C-C-C-C-A-G-G-G-A-G-A-A-G-G-C-A-A-C-T-G-G-A-C-C-G-A-A-G-G-C-G-C-T-T-G-T-G-G-A-G-A-A-G-G-A-G-T-T-C-A-T-A-G-C-T-G-G-G-C-T-C-C-C-T-A-T-A-G-T-G-A-G-T-C-G-T-A-T-T-A), complex with peptide nucleic acid (H-T-A-A-T-A-C-G-A-C-T-C-A-C-T-A)-[2-(5'-thymidylylamino)ethyl]NH (1:1) (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

MAUPIN 09/835,371

=&gt; d ibib abs hitstr 5

L12 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:508642 HCAPLUS  
Correction of: 1996:190218DOCUMENT NUMBER: 125:168639  
Correction of: 124:344062TITLE: Synthesis of **polyamide** nucleic acids (PNAs)  
using a novel Fmoc/Mmt protecting-group combinationAUTHOR(S): **Breipohl, G.**; Knolle, J.; Langner, D.;  
O'Malley, G.; **Uhlmann, E.**CORPORATE SOURCE: Central Pharma Res., Hoechst AG, Frankfurt, 65926,  
GermanySOURCE: Bioorg. Med. Chem. Lett. (1996), 6(6), 665-670  
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

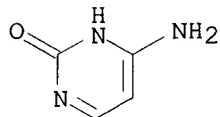
AB The prepn. of 9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks for the synthesis of **polyamide** nucleic acids (PNAs) is described. Use of 4-methoxyphenyldiphenylmethyl (Mmt)-protecting groups for the exocyclic amino function of the nucleobases enhances the soly. of the monomers and allows final deprotection by mild acid treatment. The novel synthetic route is exemplified by the synthesis of heptameric and octameric PNAs.

IT 71-30-7, Cytosine 73-24-5, Adenine, reactions  
96-32-2, Methyl bromoacetate 10310-21-1,  
2-Amino-6-chloropurine 20924-05-4, 1-(Carboxymethyl)thymine  
172405-43-5

RL: RCT (Reactant)  
(synthesis of peptide nucleic acids using a novel  
fluorenylmethoxycarbonyl and monomethoxytrityl protecting group  
combination)

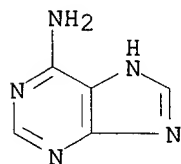
RN 71-30-7 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino- (9CI) (CA INDEX NAME)



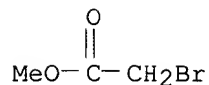
RN 73-24-5 HCAPLUS

CN 1H-Purin-6-amine (9CI) (CA INDEX NAME)

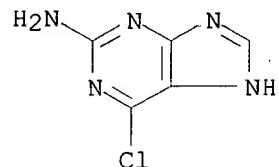


RN 96-32-2 HCAPLUS

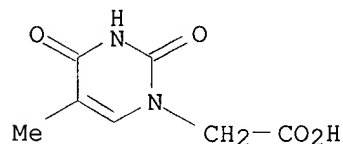
CN Acetic acid, bromo-, methyl ester (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



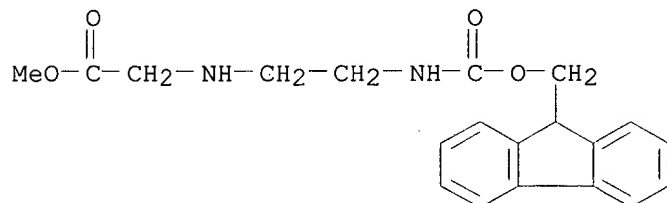
RN 10310-21-1 HCAPLUS  
CN 1H-Purin-2-amine, 6-chloro- (9CI) (CA INDEX NAME)



RN 20924-05-4 HCAPLUS  
CN 1(2H)-Pyrimidineacetic acid, 3,4-dihydro-5-methyl-2,4-dioxo- (8CI, 9CI)  
(CA INDEX NAME)



RN 172405-43-5 HCAPLUS  
CN Glycine, N-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 169396-92-3P 172405-46-8P 172405-47-9P  
172405-48-0P 172405-49-1P 172405-50-4P  
172405-51-5P 172405-52-6P 172405-53-7P  
172405-54-8P 172405-55-9P 172405-56-0P  
172405-57-1P 172405-58-2P 172405-59-3P  
172405-62-8P 176750-53-1P

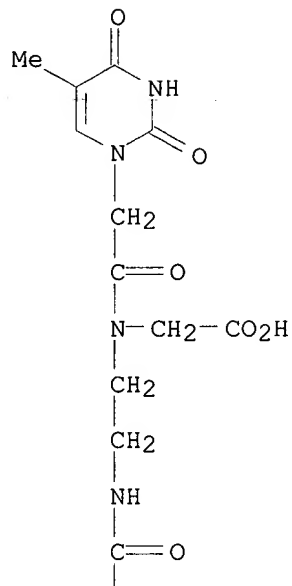
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of peptide nucleic acids using a novel  
fluorenylmethoxycarbonyl and monomethoxytrityl protecting group  
combination)

RN 169396-92-3 HCAPLUS  
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-

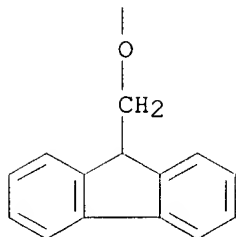


[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

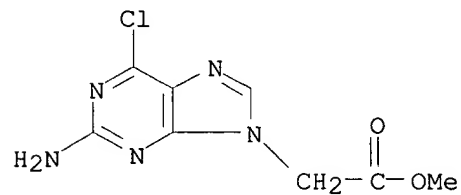
PAGE 1-A



PAGE 2-A

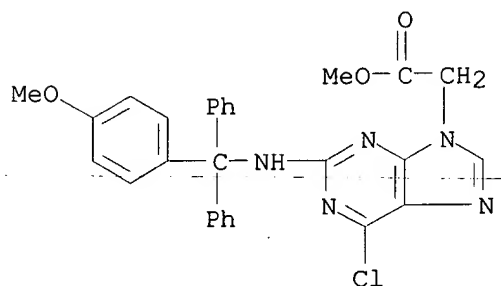


RN 172405-46-8 HCAPLUS  
 CN 9H-Purine-9-acetic acid, 2-amino-6-chloro-, methyl ester (9CI) (CA INDEX NAME)



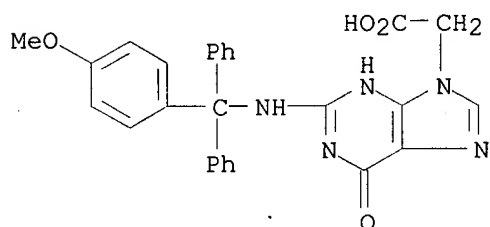
RN 172405-47-9 HCAPLUS

CN 9H-Purine-9-acetic acid, 6-chloro-2-[[[(4-methoxyphenyl)diphenylmethyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



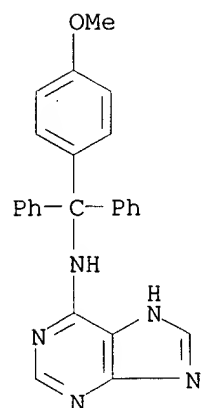
RN 172405-48-0 HCAPLUS

CN 9H-Purine-9-acetic acid, 1,6-dihydro-2-[[[(4-methoxyphenyl)diphenylmethyl]amino]-6-oxo- (9CI) (CA INDEX NAME)



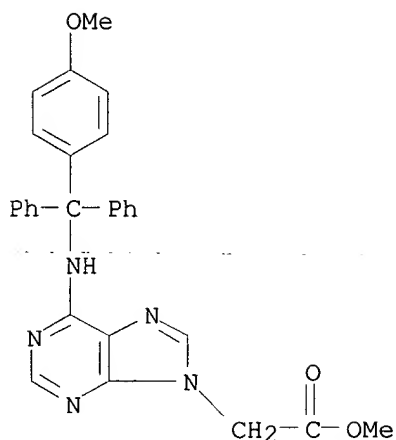
RN 172405-49-1 HCAPLUS

CN 1H-Purin-6-amine, N-[(4-methoxyphenyl)diphenylmethyl]- (9CI) (CA INDEX NAME)

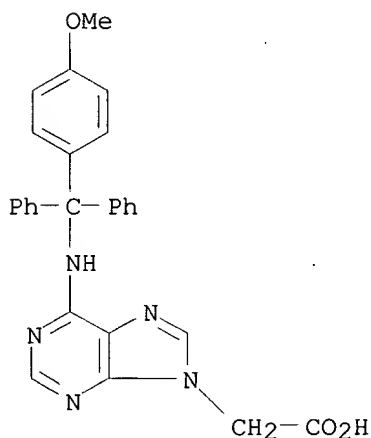


RN 172405-50-4 HCAPLUS

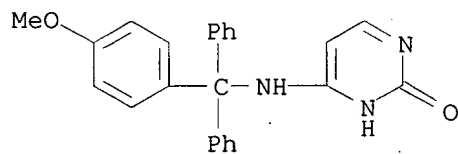
CN 9H-Purine-9-acetic acid, 6-[[[(4-methoxyphenyl)diphenylmethyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 172405-51-5 HCAPLUS

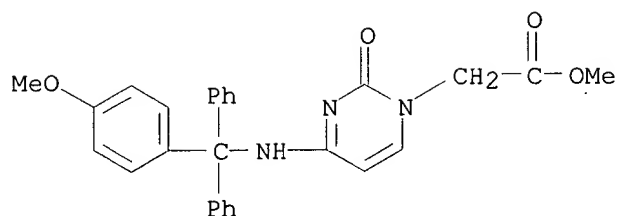
CN 9H-Purine-9-acetic acid, 6-[[[4-methoxyphenyl]diphenylmethyl]amino]- (9CI)  
(CA INDEX NAME)


RN 172405-52-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-[[[4-methoxyphenyl]diphenylmethyl]amino]- (9CI) (CA  
INDEX NAME)


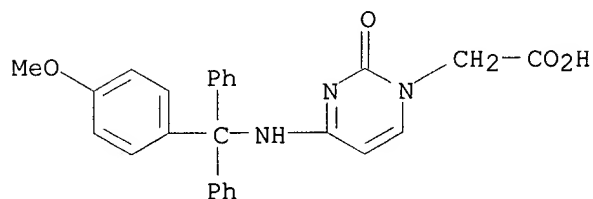
RN 172405-53-7 HCAPLUS

CN 1(2H)-Pyrimidineacetic acid, 4-[[[4-methoxyphenyl]diphenylmethyl]amino]-2-  
oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 172405-54-8 HCAPLUS

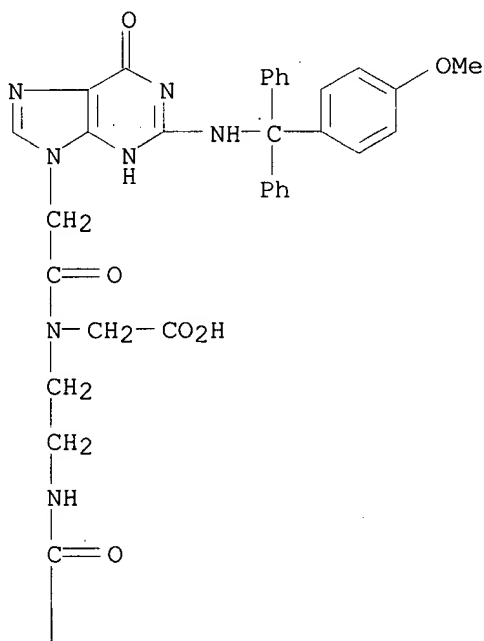
CN 1(2H)-Pyrimidineacetic acid, 4-[[[4-methoxyphenyl]diphenylmethyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



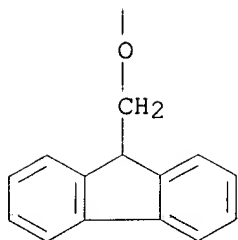
RN 172405-55-9 HCAPLUS

CN Glycine, N-[[[1,6-dihydro-2-[[[4-methoxyphenyl]diphenylmethyl]amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[[9H-fluoren-9-ylmethoxy]carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

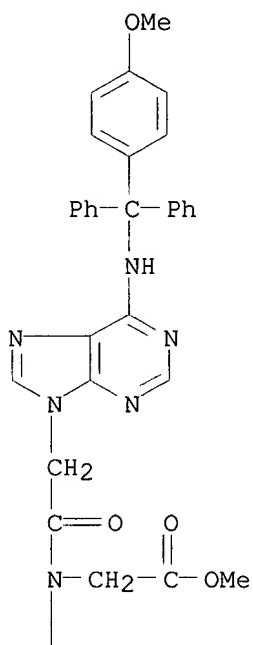


PAGE 2-A

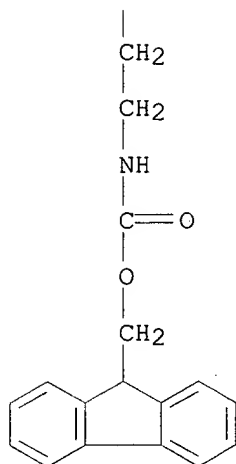


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 CN Glycine, N-[2-[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N-[[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]-9H-purin-9-yl]acetyl]-, methyl ester  
 (9CI) (CA INDEX NAME)

PAGE 1-A

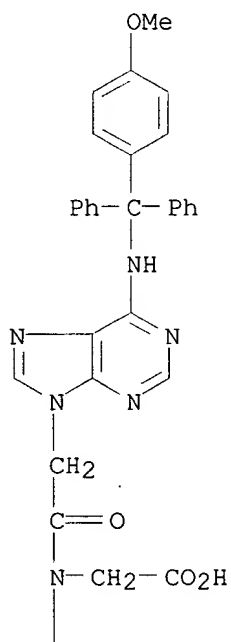


PAGE 2-A

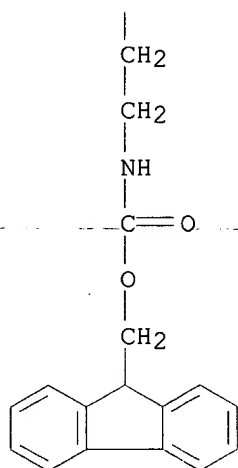


RN 172405-57-1 HCAPLUS  
 CN Glycine, N-[2-[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N-[[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]-9H-purin-9-yl]acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

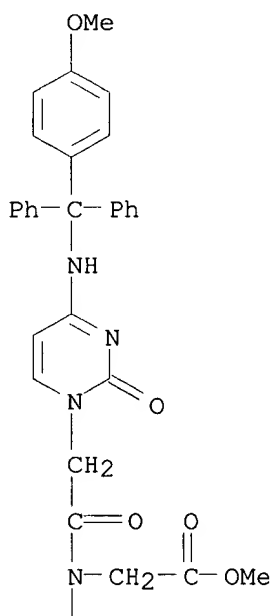


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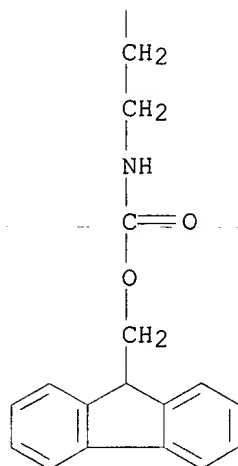


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PAGE 1-A

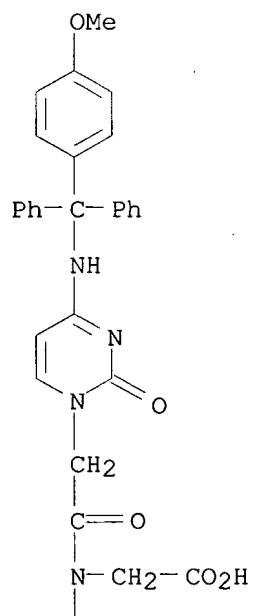


PAGE 2-A



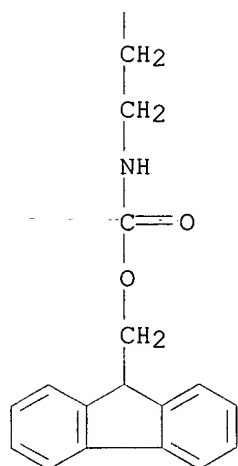
RN 172405-59-3 HCAPLUS  
 CN Glycine, N-[2-[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N-[[4-[[ (4-methoxyphenyl)diphenylmethyl]amino]-2-oxo-1(2H)-pyrimidinyl]acetyl]- (9CI)  
 (CA INDEX NAME)

PAGE 1-A



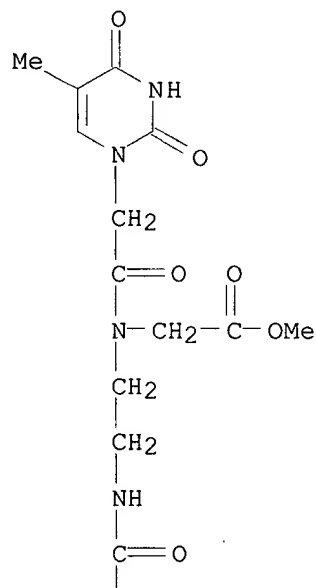


PAGE 2-A

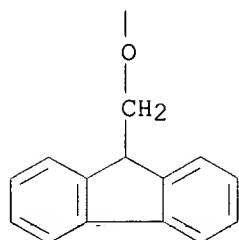


RN 172405-62-8 HCAPLUS  
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 [(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA  
 INDEX NAME)

PAGE 1-A

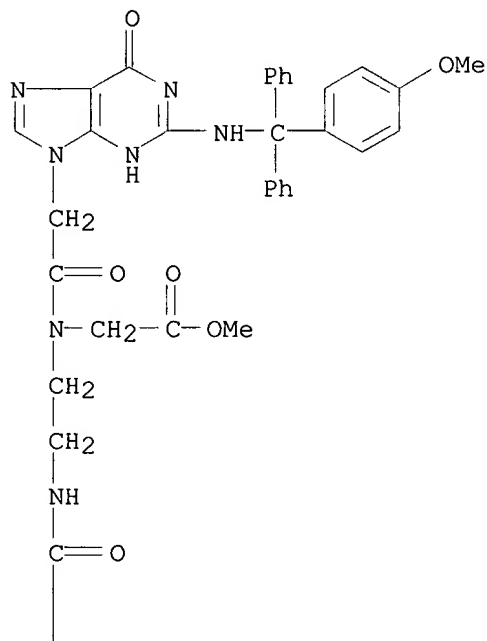


PAGE 2-A

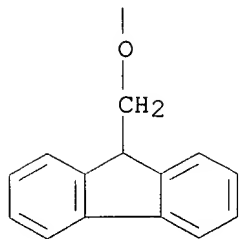


RN 176750-53-1 HCAPLUS  
 CN Glycine, N-[[1,6-dihydro-2-[[[(4-methoxyphenyl)diphenylmethyl]amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT 139166-84-0P 172405-67-3P 176750-54-2P

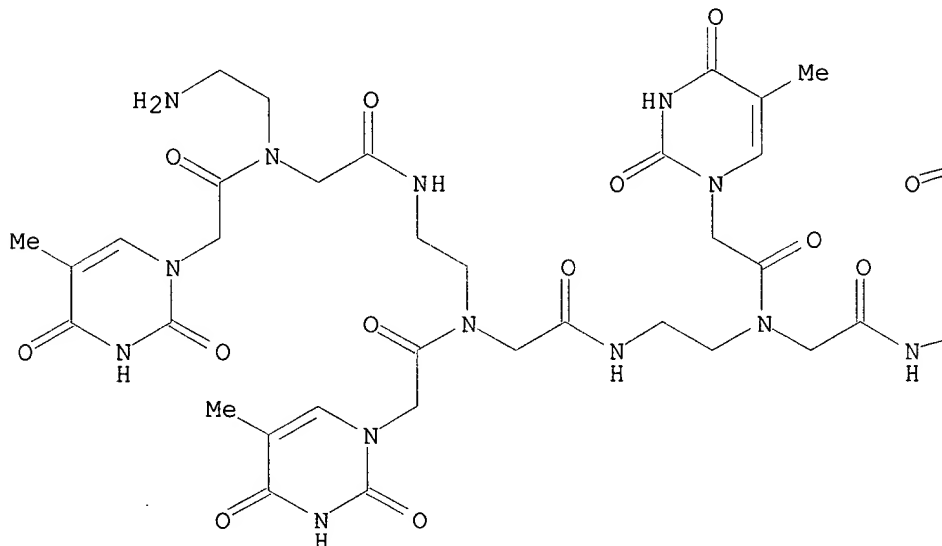
RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of peptide nucleic acids using a novel  
fluorenylmethoxycarbonyl and monomethoxytrityl protecting group  
combination)

RN 139166-84-0 HCAPLUS

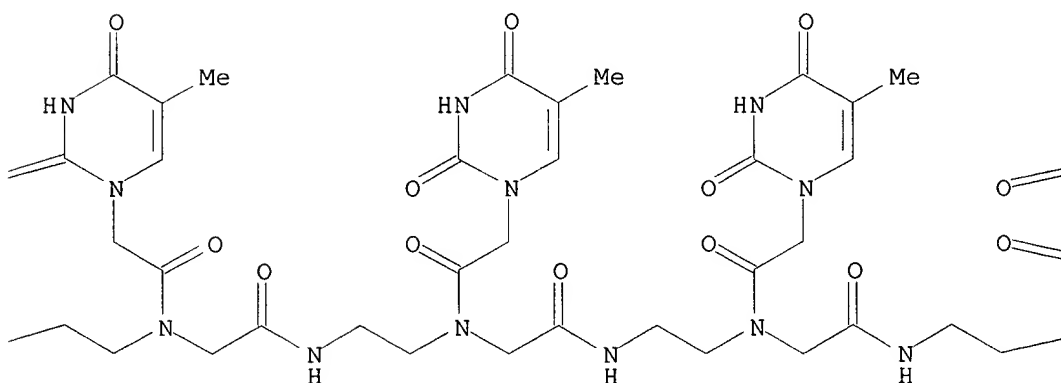
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T)-Lys-NH<sub>2</sub> (9CI) (CA INDEX NAME)

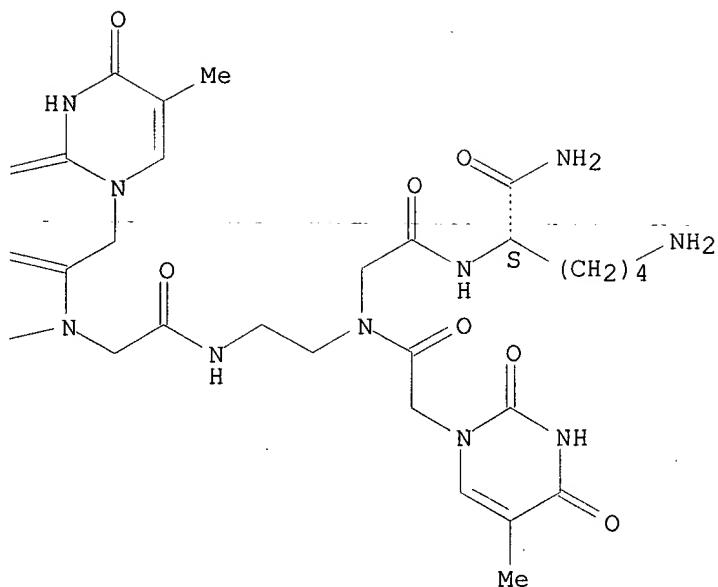
Absolute stereochemistry.

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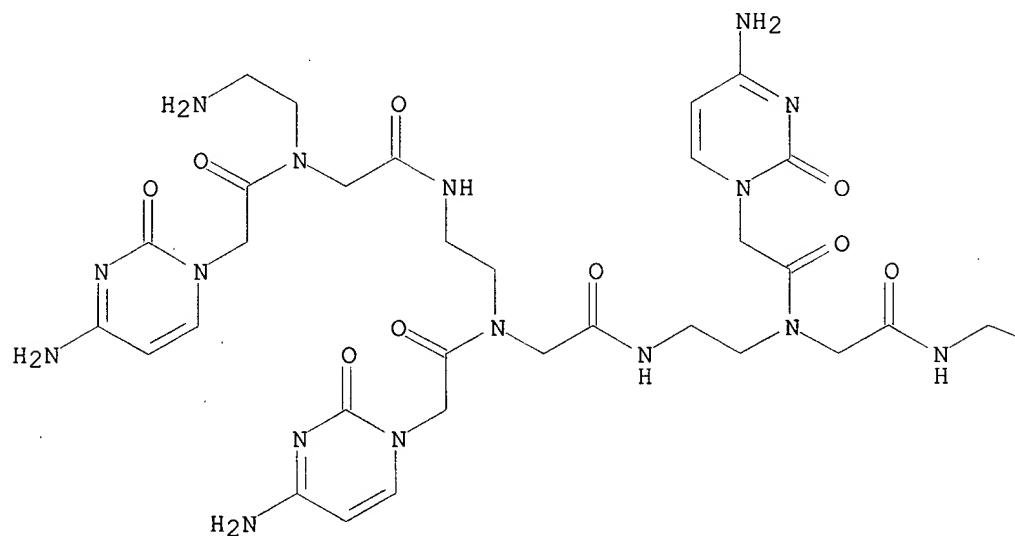




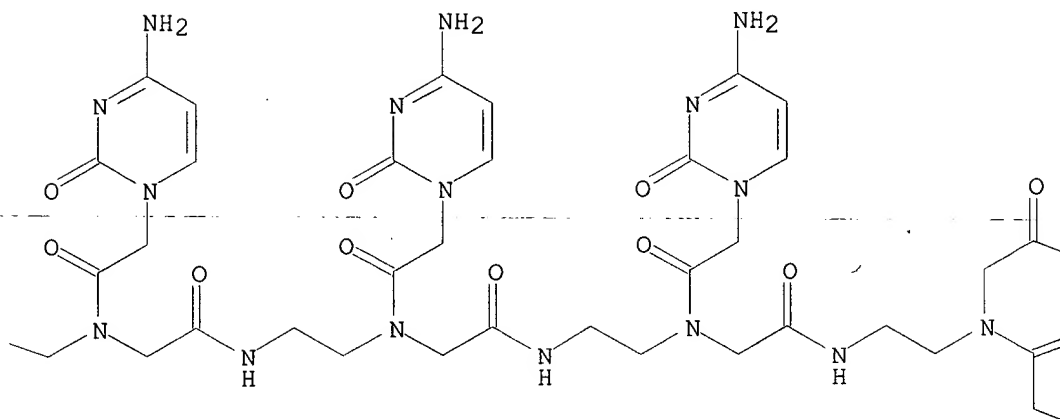
RN 172405-67-3 HCAPLUS

CN Peptide nucleic acid, (H-C-C-C-C-C-C-C)-Lys-NH2 (9CI) (CA INDEX NAME)

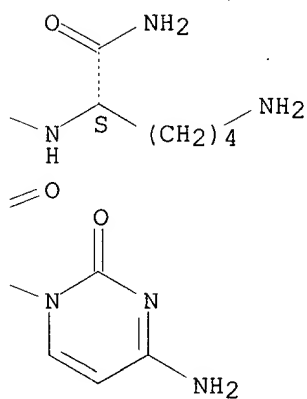
Absolute stereochemistry.



PAGE 1-B

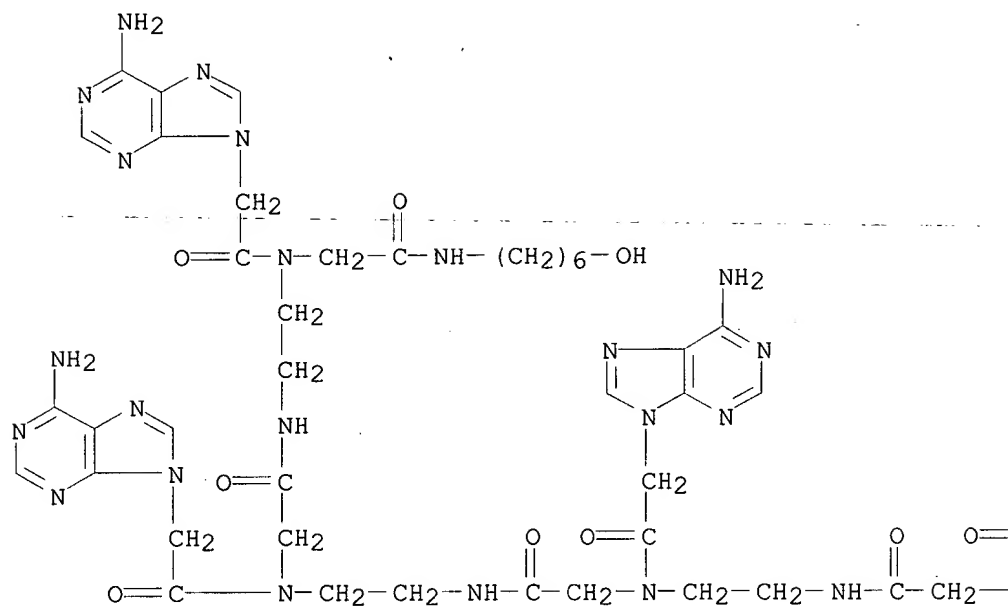


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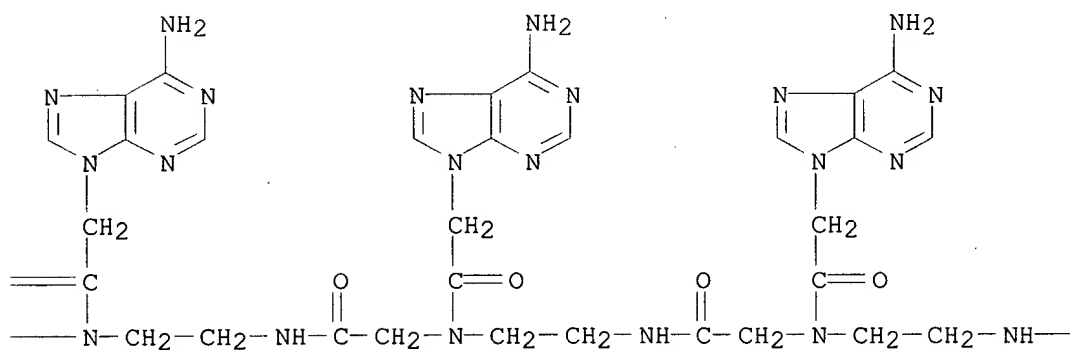


RN 176750-54-2 HCAPLUS  
 CN 9H-Purine-9-acetamide, 2-amino-N-[24-[(6-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)acetyl]-6,12,18-tris[(6-amino-9H-purin-9-yl)acetyl]-4,10,16,22,28-pentaoxo-3,6,9,12,15,18,21,24,27-nonaazanonacos-1-yl]-N-[6,12,18,24-tetrakis[(6-amino-9H-purin-9-yl)acetyl]-33-hydroxy-2,8,14,20,26-pentaoxo-3,6,9,12,15,18,21,24,27-nonaazatritriacont-1-yl]- (9CI) (CA INDEX NAME)

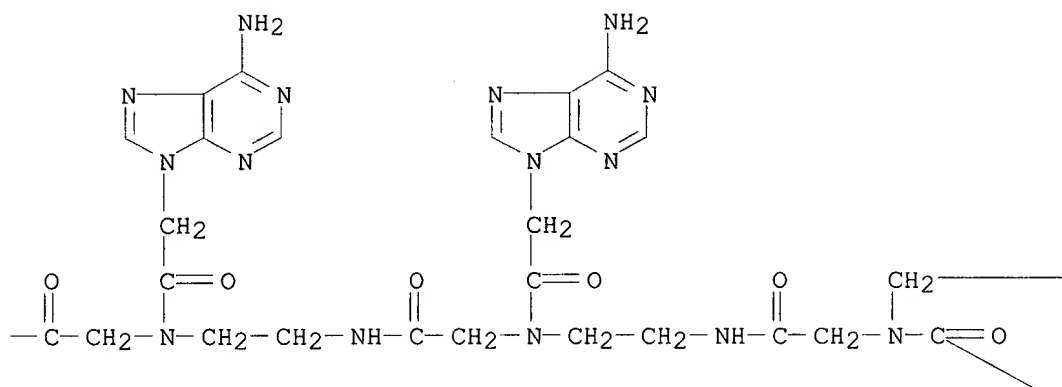
PAGE 1-A



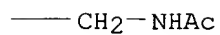
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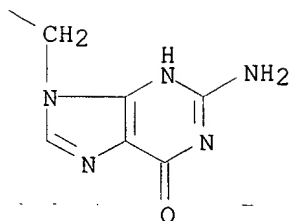
PAGE 1-C



PAGE 1-D



PAGE 2-C



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L12 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 26

ST **polyamide** nucleic acid Merrifield synthesis; peptide nucleic acid Merrifield synthesis; monomethoxytrityl nucleobase protective group

IT Merrifield synthesis

(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT Peptide nucleic acids

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT Protective groups

(methoxytrityl, synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT 71-30-7, Cytosine 73-24-5, Adenine, reactions

96-32-2, Methyl bromoacetate 10310-21-1,

2-Amino-6-chloropurine 20924-05-4, 1-(Carboxymethyl)thymine

172405-43-5

RL: RCT (Reactant)

(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT 169396-92-3P 172405-46-8P 172405-47-9P

172405-48-0P 172405-49-1P 172405-50-4P

172405-51-5P 172405-52-6P 172405-53-7P

172405-54-8P 172405-55-9P 172405-56-0P

172405-57-1P 172405-58-2P 172405-59-3P

172405-62-8P 176750-53-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

IT 139166-84-0P 172405-67-3P 176750-54-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)



MAUPIN 09/835,371

=&gt; d ibib abs hitstr 6

L12 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:190218 HCAPLUS

DOCUMENT NUMBER: 124:344062

TITLE: Synthesis of **polyamide** nucleic acids (PNAs)  
using a novel Fmoc/Mmt protecting-group combination  
AUTHOR(S): Breipohl, G.; Knolle, J.; Langner, D.; O'Malley, G.;  
**Uhlmann, E.**

CORPORATE SOURCE: Central Pharma Research, Hoechst AG, Frankfurt, 65926, Germany

SOURCE: Bioorg. Med. Chem. Lett. (1996), 6(6), 665-70

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The prepn. of 9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks for the synthesis of **polyamide** nucleic acids (PNAs) is described. Use of 4-methoxyphenyldiphenylmethyl (Mmt)-protecting groups for the exocyclic amino function of the nucleobases enhances the soly. of the monomers and allows final deprotection by mild acid treatment. The novel synthetic route is exemplified by the synthesis of heptameric and octameric PNAs.

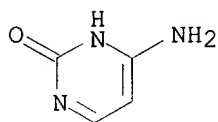
IT 71-30-7, Cytosine 73-24-5, Adenine, reactions  
96-32-2, Methyl bromoacetate 10310-21-1,  
2-Amino-6-chloropurine 20924-05-4, 1-(Carboxymethyl)thymine  
172405-43-5

RL: RCT (Reactant)

(synthesis of peptide nucleic acids using a novel  
fluorenylmethoxycarbonyl and monomethoxytrityl protecting group  
combination)

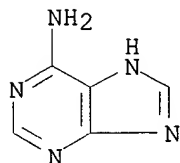
RN 71-30-7 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino- (9CI) (CA INDEX NAME)



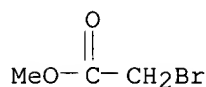
RN 73-24-5 HCAPLUS

CN 1H-Purin-6-amine (9CI) (CA INDEX NAME)

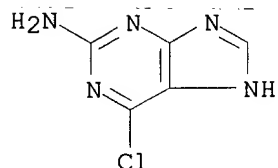


RN 96-32-2 HCAPLUS

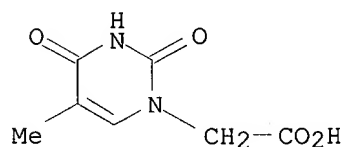
CN Acetic acid, bromo-, methyl ester (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



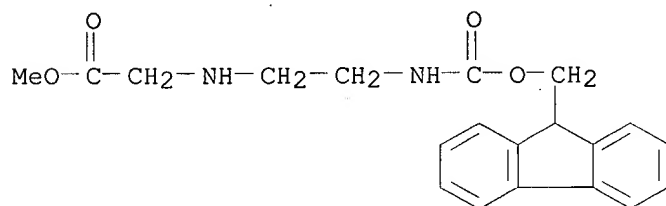
RN 10310-21-1 HCAPLUS  
CN 1H-Purin-2-amine, 6-chloro- (9CI) (CA INDEX NAME)



RN 20924-05-4 HCAPLUS  
CN 1(2H)-Pyrimidineacetic acid, 3,4-dihydro-5-methyl-2,4-dioxo- (8CI, 9CI)  
(CA INDEX NAME)



RN 172405-43-5 HCAPLUS  
CN Glycine, N-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

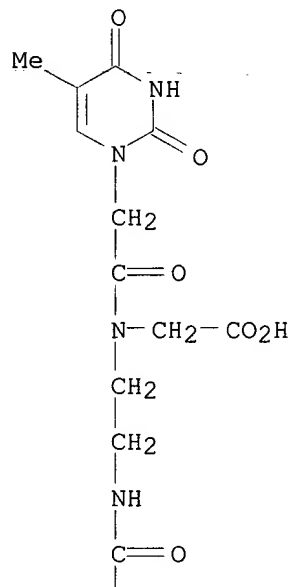
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172405-51-5P 172405-52-6P 172405-53-7P  
172405-54-8P 172405-55-9P 172405-56-0P  
172405-57-1P 172405-58-2P 172405-59-3P  
172405-62-8P 176750-53-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of peptide nucleic acids using a novel  
fluorenylmethoxycarbonyl and monomethoxytrityl protecting group  
combination)

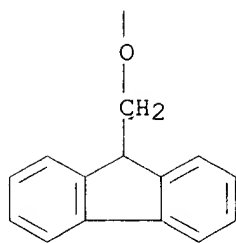
RN 169396-92-3 HCAPLUS

CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-  
[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

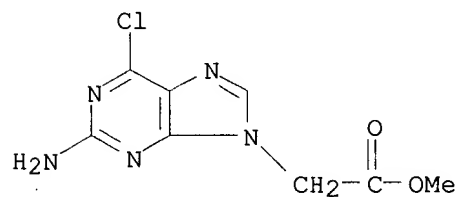
PAGE 1-A



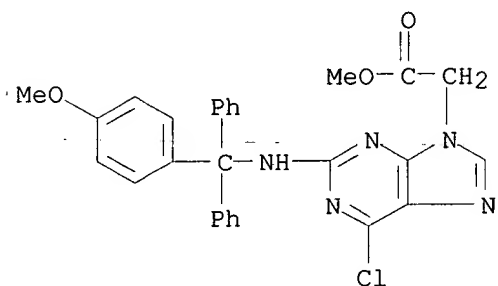
PAGE 2-A



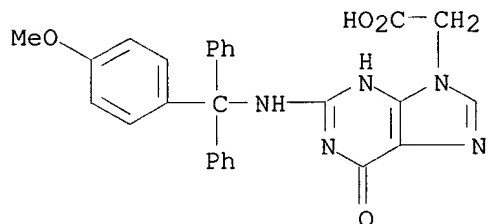
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CN 9H-Purine-9-acetic acid, 2-amino-6-chloro-, methyl ester (9CI) (CA INDEX NAME)



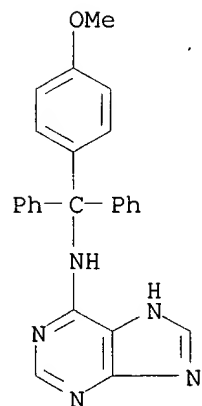
RN 172405-47-9 HCAPLUS  
 CN 9H-Purine-9-acetic acid, 6-chloro-2-[[ (4-methoxyphenyl)diphenylmethyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



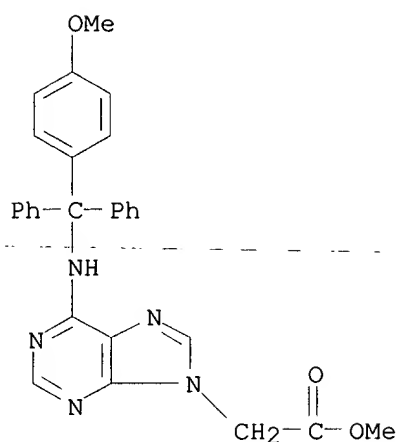
RN 172405-48-0 HCAPLUS  
 CN 9H-Purine-9-acetic acid, 1,6-dihydro-2-[[ (4-methoxyphenyl)diphenylmethyl]amino]-6-oxo- (9CI) (CA INDEX NAME)



RN 172405-49-1 HCAPLUS  
 CN 1H-Purin-6-amine, N-[[ (4-methoxyphenyl)diphenylmethyl]- (9CI) (CA INDEX NAME)

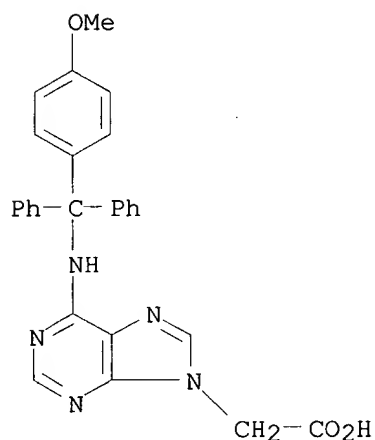


RN 172405-50-4 HCAPLUS  
 CN 9H-Purine-9-acetic acid, 6-[[ (4-methoxyphenyl)diphenylmethyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



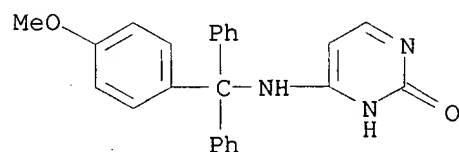
RN 172405-51-5 HCAPLUS

CN 9H-Purine-9-acetic acid, 6-[[[4-methoxyphenyl)diphenylmethyl]amino]- (9CI)  
(CA INDEX NAME)



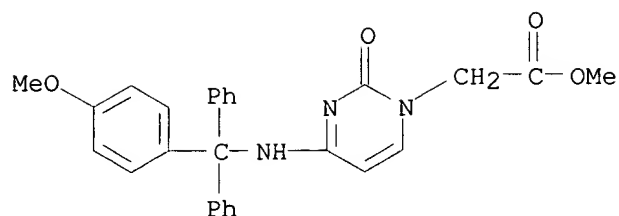
RN 172405-52-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-[[[4-methoxyphenyl)diphenylmethyl]amino]- (9CI) (CA  
INDEX NAME)



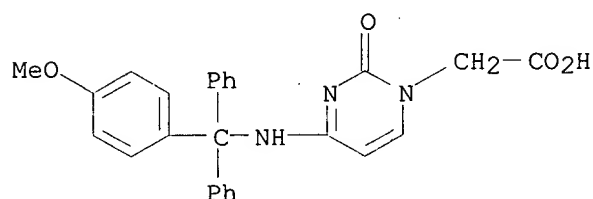
RN 172405-53-7 HCAPLUS

CN 1(2H)-Pyrimidineacetic acid, 4-[[[4-methoxyphenyl)diphenylmethyl]amino]-2-  
oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 172405-54-8 HCAPLUS

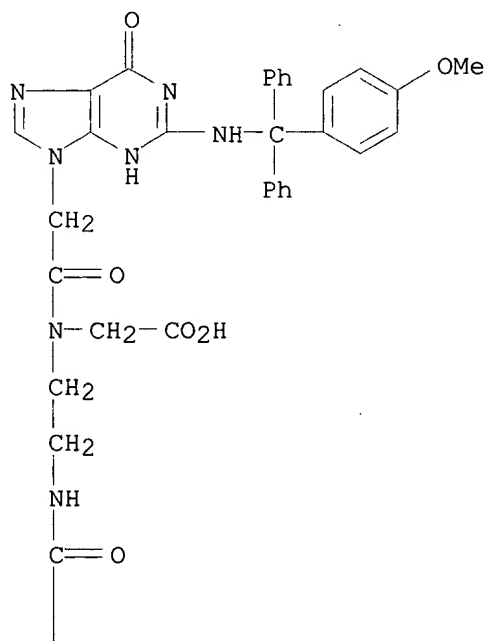
CN 1(2H)-Pyrimidineacetic acid, 4-[[[4-methoxyphenyl]diphenylmethyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



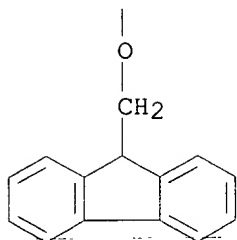
RN 172405-55-9 HCAPLUS

CN Glycine, N-[[[1,6-dihydro-2-[[[4-methoxyphenyl]diphenylmethyl]amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[[9H-fluoren-9-ylmethoxy]carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

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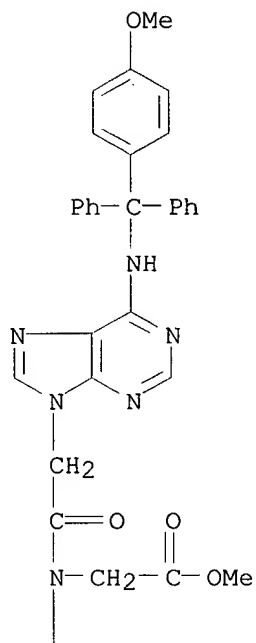


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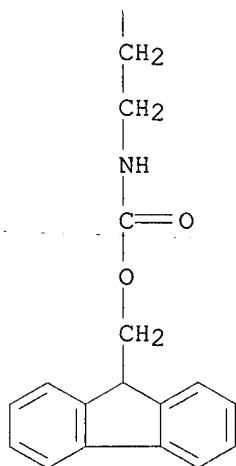
RN 172405-56-0 HCAPLUS  
 CN Glycine, N-[2-[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N-[[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]-9H-purin-9-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

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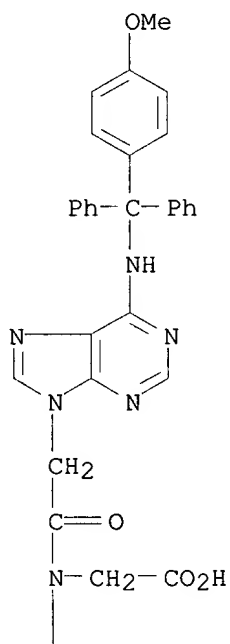


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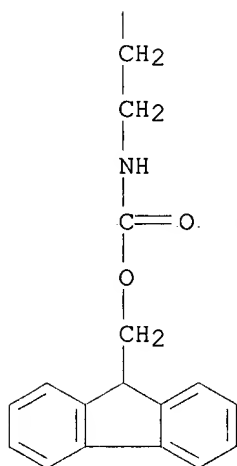


RN 172405-57-1 HCAPLUS  
 CN Glycine, N-[2-[[ (9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N-[[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]-9H-purin-9-yl]acetyl]- (9CI) (CA INDEX NAME)

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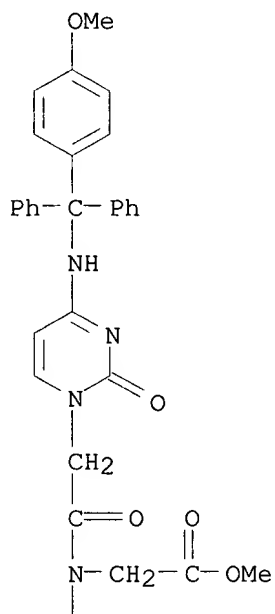


PAGE 2-A

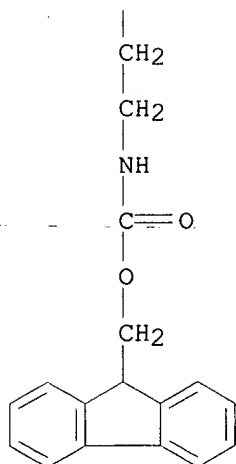


RN 172405-58-2 HCAPLUS  
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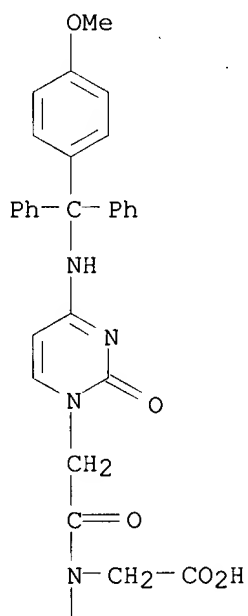


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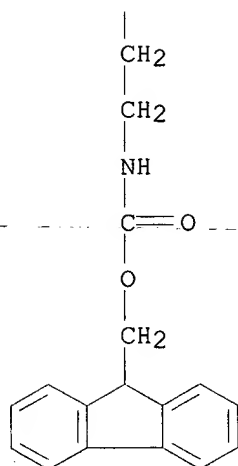


RN 172405-59-3 HCAPLUS  
 CN Glycine, N-[2-[[[9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N-[[4-[[[4-methoxyphenyl)diphenylmethyl]amino]-2-oxo-1(2H)-pyrimidinyl]acetyl]- (9CI)  
 (CA INDEX NAME)

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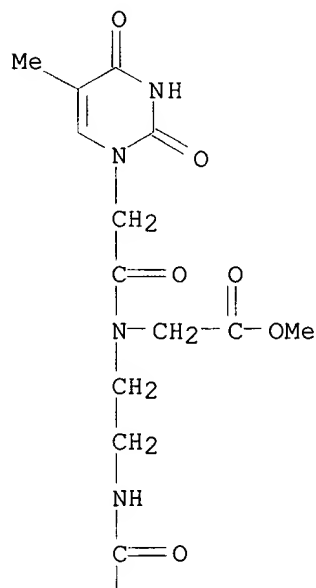


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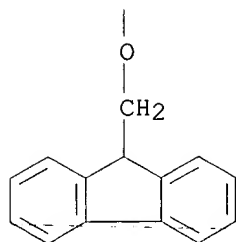


RN 172405-62-8 HCAPLUS  
 CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-  
 [[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA  
 INDEX NAME)

PAGE 1-A

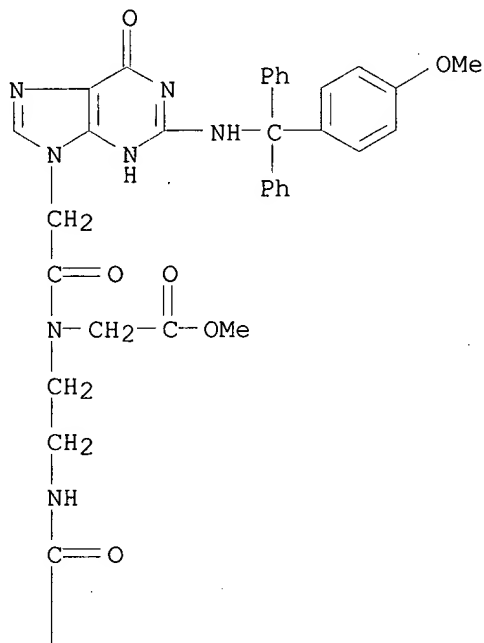


PAGE 2-A

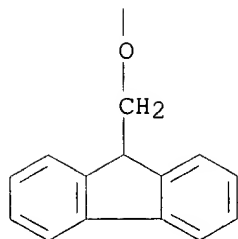


RN 176750-53-1 HCAPLUS  
 CN Glycine, N-[[1,6-dihydro-2-[[[(4-methoxyphenyl)diphenylmethyl]amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

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IT 139166-84-0P 172405-67-3P 176750-54-2P

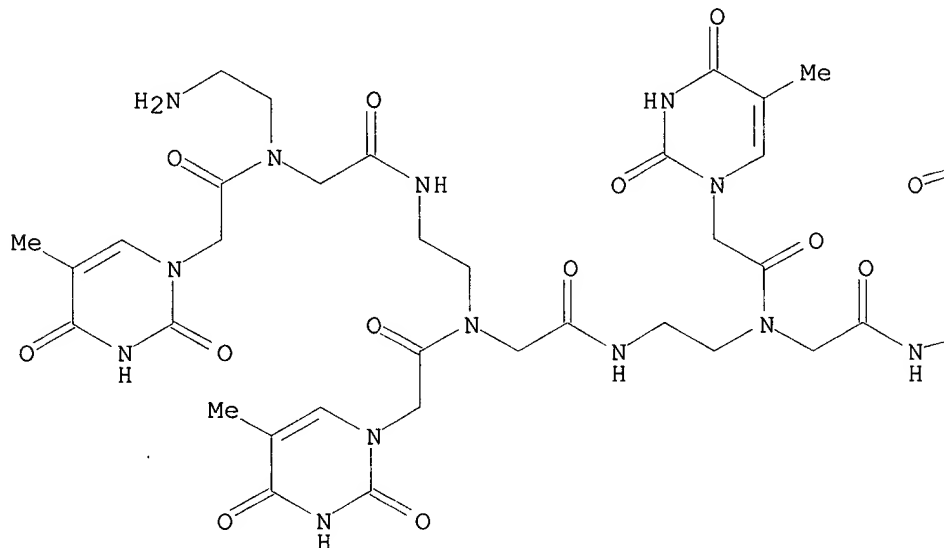
RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of peptide nucleic acids using a novel  
fluorenylmethoxycarbonyl and monomethoxytrityl protecting group  
combination)

RN 139166-84-0 HCAPLUS

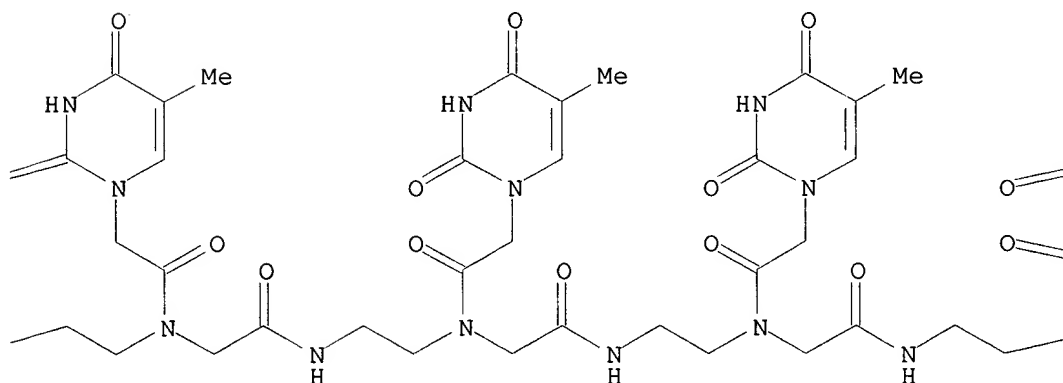
CN Peptide nucleic acid, (H-T-T-T-T-T-T-T)-Lys-NH<sub>2</sub> (9CI) (CA INDEX NAME)

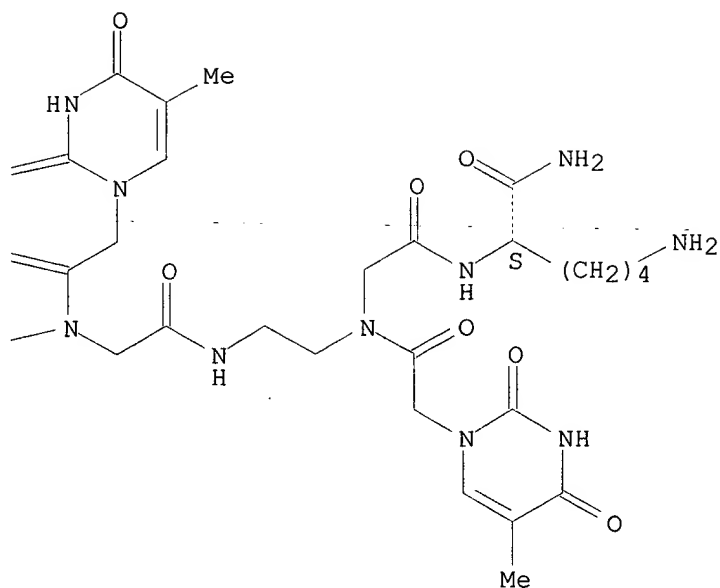
Absolute stereochemistry.

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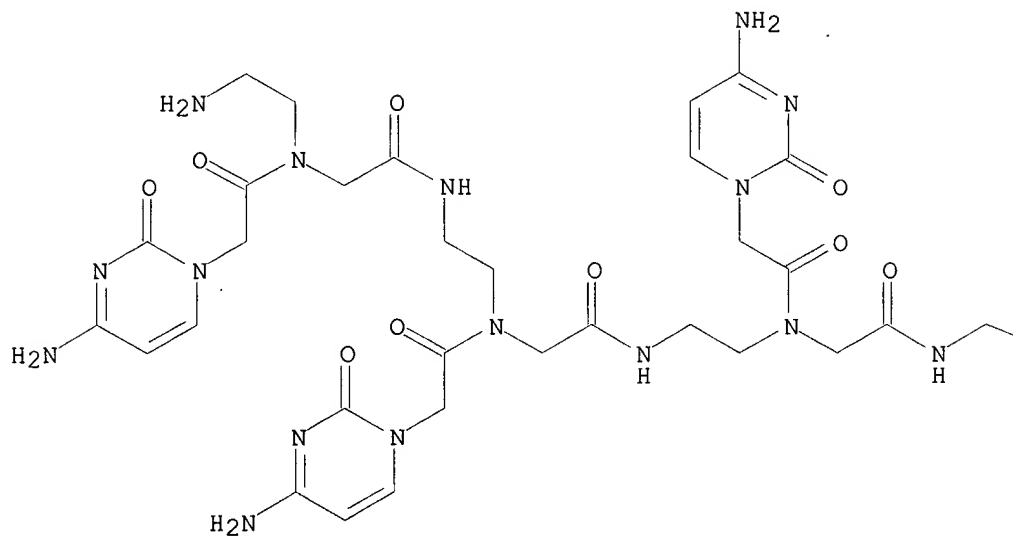




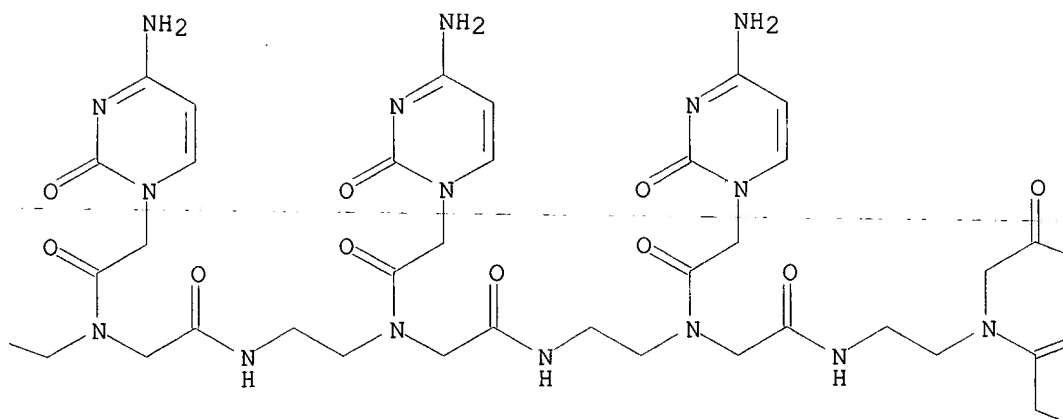
RN 172405-67-3 HCAPLUS

CN Peptide nucleic acid, (H-C-C-C-C-C-C-C)-Lys-NH<sub>2</sub> (9CI) (CA INDEX NAME)

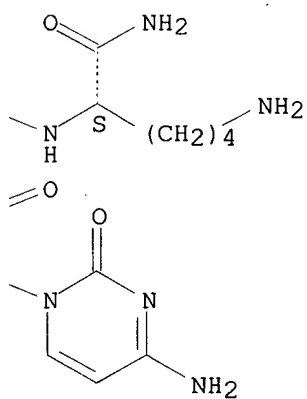
Absolute stereochemistry.



PAGE 1-B



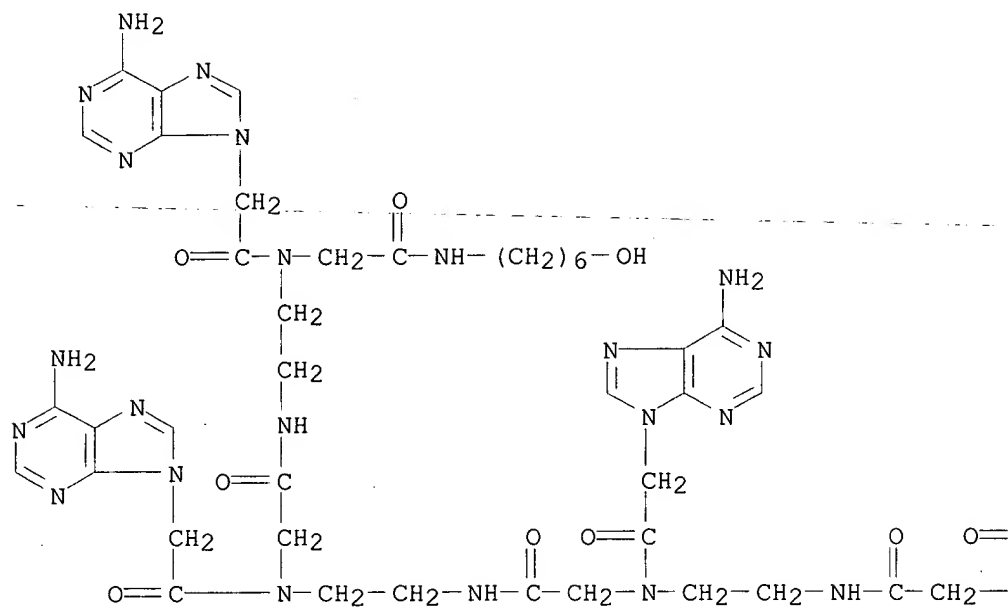
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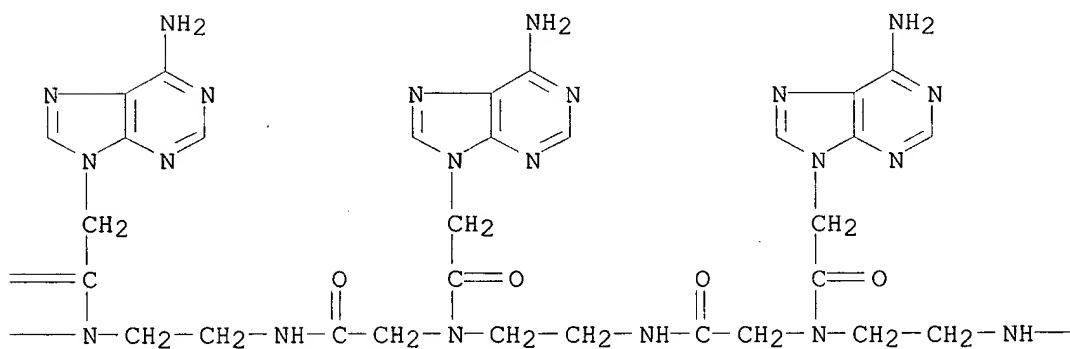
RN 176750-54-2 HCAPLUS  
 CN 9H-Purine-9-acetamide, 2-amino-N-[24-[(6-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)acetyl]-6,12,18-tris[(6-amino-9H-purin-9-yl)acetyl]-4,10,16,22,28-pentaoxo-3,6,9,12,15,18,21,24,27-nonaazanonacos-1-yl]-N-[6,12,18,24-tetrakis[(6-amino-9H-purin-9-yl)acetyl]-33-hydroxy-2,8,14,20,26-pentaoxo-3,6,9,12,15,18,21,24,27-nonaazatritriacont-1-yl]- (9CI) (CA INDEX NAME)



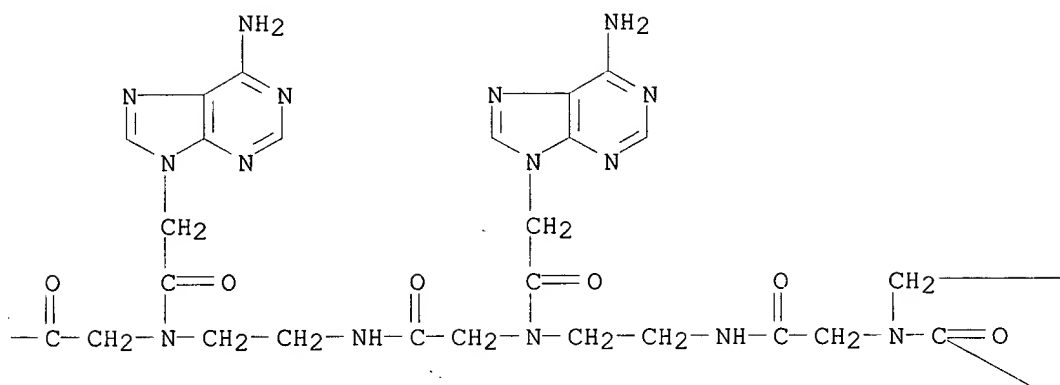
PAGE 1-A



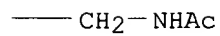
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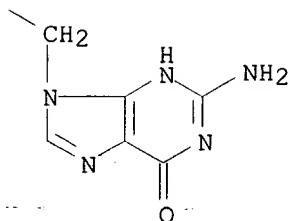
PAGE 1-C



PAGE 1-D



PAGE 2-C



=> d ind 6

- L12 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 26  
 ST **polyamide** nucleic acid Merrifield synthesis; peptide nucleic acid Merrifield synthesis; monomethoxytrityl nucleobase protective group  
 IT Merrifield synthesis  
     (synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)  
 IT Peptide nucleic acids  
     RL: SPN (Synthetic preparation); PREP (Preparation)  
     (synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)  
 IT Protective groups  
     (methoxytrityl, synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)  
 IT 71-30-7, Cytosine 73-24-5, Adenine, reactions  
     96-32-2, Methyl bromoacetate 10310-21-1,  
     2-Amino-6-chloropurine 20924-05-4, 1-(Carboxymethyl)thymine  
     172405-43-5  
     RL: RCT (Reactant)  
     (synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)  
 IT 169396-92-3P 172405-46-8P 172405-47-9P  
     172405-48-0P 172405-49-1P 172405-50-4P  
     172405-51-5P 172405-52-6P 172405-53-7P  
     172405-54-8P 172405-55-9P 172405-56-0P  
     172405-57-1P 172405-58-2P 172405-59-3P  
     172405-62-8P 176750-53-1P  
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
     (synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)  
 IT 139166-84-0P 172405-67-3P 176750-54-2P  
     RL: SPN (Synthetic preparation); PREP (Preparation)  
     (synthesis of peptide nucleic acids using a novel fluorenylmethoxycarbonyl and monomethoxytrityl protecting group combination)

MAUPIN 09/835,371

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L12 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:994444 HCAPLUS

DOCUMENT NUMBER: 124:202955

TITLE: Preparation of **polyamide**-oligonucleotide derivatives as drugs, gene probes, and primers.INVENTOR(S): **Uhlmann, Eugen; Breipohl, Gerhard**

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 672677	A2	19950920	EP 1995-103332	19950308
EP 672677	A3	19960117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4408528	A1	19950928	DE 1994-4408528	19940314
EP 1113021	A2	20010704	EP 2001-104012	19950308
EP 1113021	A3	20010711		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
FI 9501132	A	19950915	FI 1995-1132	19950310
AU 9514798	A1	19950921	AU 1995-14798	19950310
AU 698210	B2	19981029		
CA 2144475	AA	19950915	CA 1995-2144475	19950313
NO 9500955	A	19950915	NO 1995-955	19950313
CN 1112126	A	19951122	CN 1995-102946	19950313
JP 07278179	A2	19951024	JP 1995-54644	19950314

PRIORITY APPLN. INFO.:

DE 1994-4408528 A 19940314

EP 1995-103332 A3 19950308

AB F[(QB)q(Q1B)r(Q2B)s(Q3B)t]xF1 [q, r, s, t = 0, 1; X = 1-20; Q, Q2 = nucleic acid (deriv.); Q1, Q3 = **polyamide** residue contg. .gtoreq.1 nucleic acid base except thymine; B = covalent bond, org. residue contg. .gtoreq.1 of C, N, O, S; F, F1 = end groups which may be bound to each other], were prepd. Title compds. show increased cellular uptake, improved nuclease stability, and are not cytotoxic; they are claimed for use as drugs and gene probes.

IT **175864-54-7P 175864-55-8P**

RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of **polyamide**-oligonucleotide derivs. as drugs, gene probes, and primers)

RN 175864-54-7 HCAPLUS

CN DNA, d(A-T-C-G-T-C-G-T-A-T-T[oxyposphinicoxy(4-oxo-1,4-butanediyl)]pC-pC-pC)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 175864-55-8 HCAPLUS

CN DNA, d(A-T-C-G-T-C-G-T-A-T-T-(5'-deamino-5'-oxy)pT-pC-pC-pC)-(6-hydroxyhexyl)NH (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **108-30-5, reactions 502-85-2 4048-33-3, 6-Amino-1-hexanol 20924-05-4 67826-12-4**

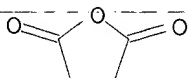
98796-51-1 100747-20-4 172405-39-9  
172405-41-3 172405-42-4 172494-26-7  
172494-27-8 172494-28-9

RL: RCT (Reactant)

(prepn. of **polyamide**-oligonucleotide derivs. as drugs, gene probes, and primers)

RN 108-30-5 HCAPLUS

CN 2,5-Furandione, dihydro- (9CI) (CA INDEX NAME)



RN 502-85-2 HCAPLUS

CN Butanoic acid, 4-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

HO-(CH<sub>2</sub>)<sub>3</sub>-CO<sub>2</sub>H

● Na

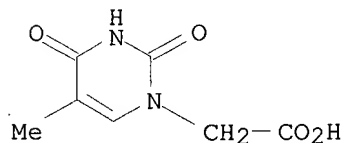
RN 4048-33-3 HCAPLUS

CN 1-Hexanol, 6-amino- (6CI, 8CI, 9CI) (CA INDEX NAME)

H<sub>2</sub>N-(CH<sub>2</sub>)<sub>6</sub>-OH

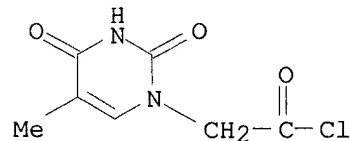
RN 20924-05-4 HCAPLUS

CN 1(2H)-Pyrimidineacetic acid, 3,4-dihydro-5-methyl-2,4-dioxo- (8CI, 9CI)  
(CA INDEX NAME)



RN 67826-12-4 HCAPLUS

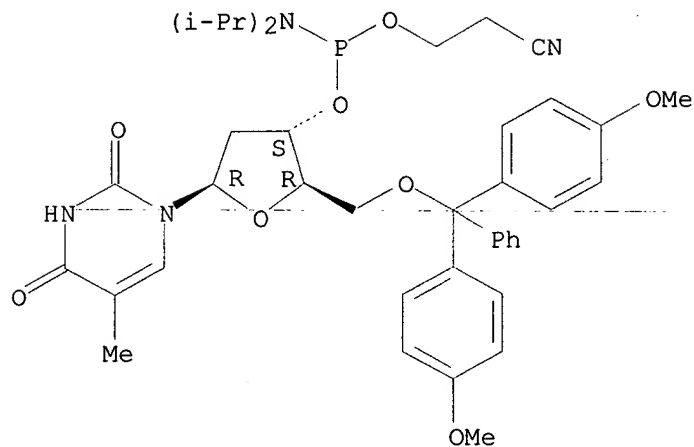
CN 1(2H)-Pyrimidineacetyl chloride, 3,4-dihydro-5-methyl-2,4-dioxo- (9CI)  
(CA INDEX NAME)



RN 98796-51-1 HCAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



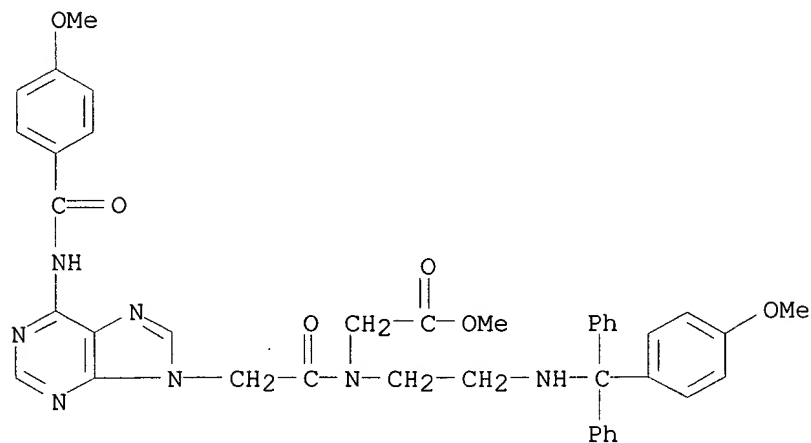
RN 100747-20-4 HCAPLUS

CN Glycine, N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

HO-(CH<sub>2</sub>)<sub>3</sub>-NH-CH<sub>2</sub>-CO<sub>2</sub>H

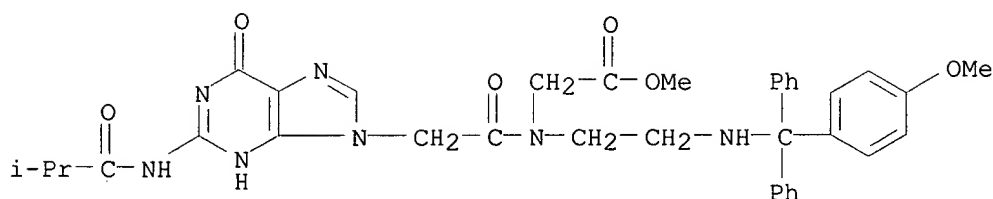
RN 172405-39-9 HCAPLUS

CN Glycine, N-[[6-[(4-methoxybenzoyl)amino]-9H-purin-9-yl]acetyl]-N-[2-[[4-methoxyphenyl)diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



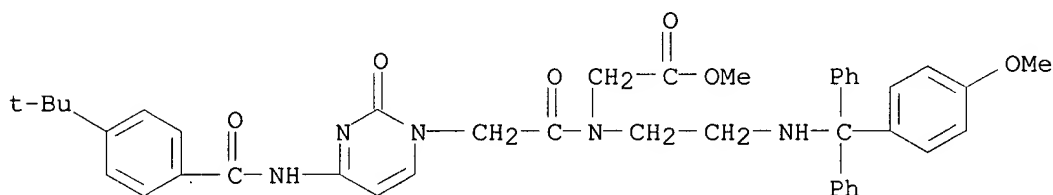
RN 172405-41-3 HCAPLUS

CN Glycine, N-[[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[4-methoxyphenyl)diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



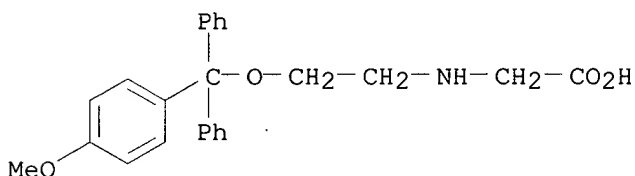
RN 172405-42-4 HCAPLUS

CN Glycine, N-[[4-[[4-(1,1-dimethylethyl)benzoyl]amino]-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[4-methoxyphenyl)diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



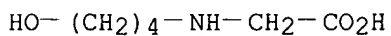
RN 172494-26-7 HCAPLUS

CN Glycine, N-[2-[(4-methoxyphenyl)diphenylmethoxy]ethyl]- (9CI) (CA INDEX NAME)



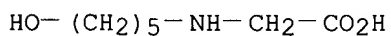
RN 172494-27-8 HCAPLUS

CN Glycine, N-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)



RN 172494-28-9 HCAPLUS

CN Glycine, N-(5-hydroxypentyl)- (9CI) (CA INDEX NAME)



IT 114729-83-8P 125697-62-3P 172316-34-6DP, resin

bound 172316-34-6P 172316-40-4P 172316-42-6P

172316-45-9P 172405-31-1P 172494-29-0P

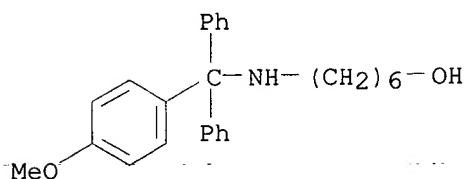
172494-30-3P 172494-31-4P 172494-32-5P

172494-33-6P 172494-34-7P 172494-35-8P

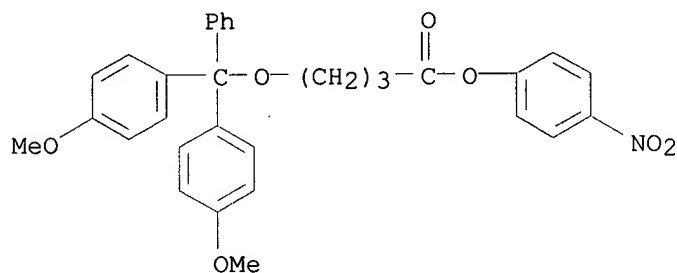
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of **polyamide**-oligonucleotide derivs. as drugs, gene  
probes, and primers)



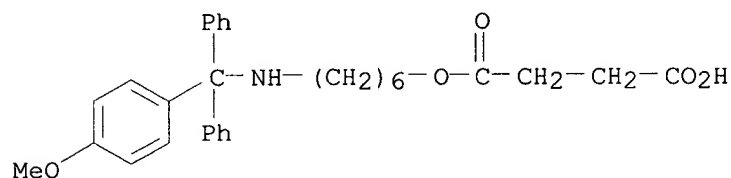
RN 114729-83-8 HCAPLUS  
CN 1-Hexanol, 6-[[ (4-methoxyphenyl)diphenylmethyl]amino]- (9CI) (CA INDEX NAME)



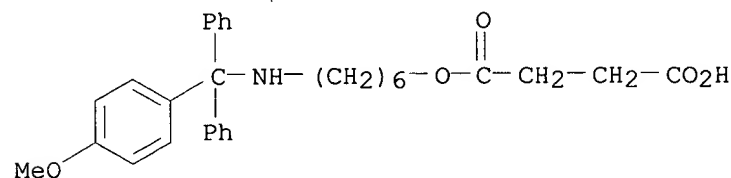
RN 125697-62-3 HCAPLUS  
CN Butanoic acid, 4-[bis(4-methoxyphenyl)phenylmethoxy]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



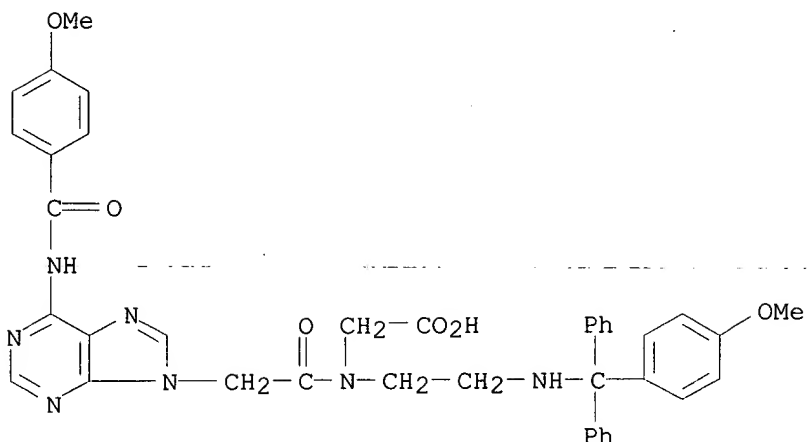
RN 172316-34-6 HCAPLUS  
CN Butanedioic acid, mono[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]hexyl] ester (9CI) (CA INDEX NAME)



RN 172316-34-6 HCAPLUS  
CN Butanedioic acid, mono[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]hexyl] ester (9CI) (CA INDEX NAME)

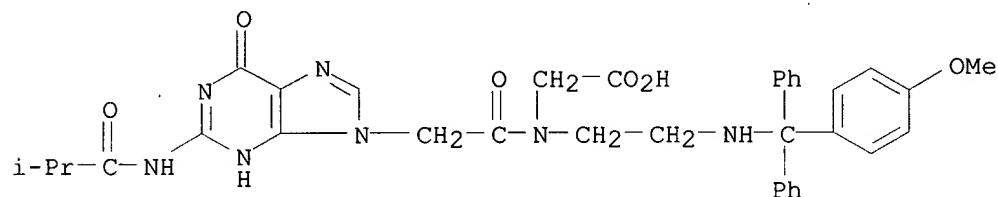


RN 172316-40-4 HCAPLUS  
CN Glycine, N-[[6-[(4-methoxybenzoyl)amino]-9H-purin-9-yl]acetyl]-N-[2-[[ (4-methoxyphenyl)diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



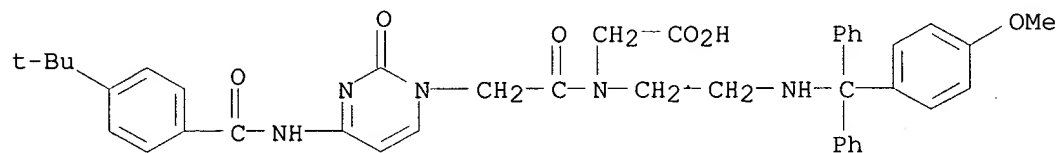
RN 172316-42-6 HCAPLUS

CN Glycine, N-[[[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[4-(4-methoxyphenyl)diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



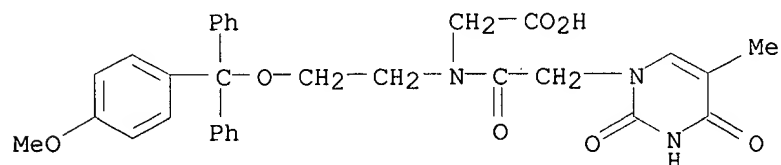
RN 172316-45-9 HCAPLUS

CN Glycine, N-[[[4-[[4-(1,1-dimethylethyl)benzoyl]amino]-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[4-(4-methoxyphenyl)diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



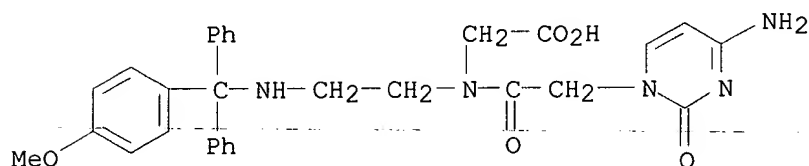
RN 172405-31-1 HCAPLUS

CN Glycine, N-[[[3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[4-(4-methoxyphenyl)diphenylmethoxy]ethyl]- (9CI) (CA INDEX NAME)



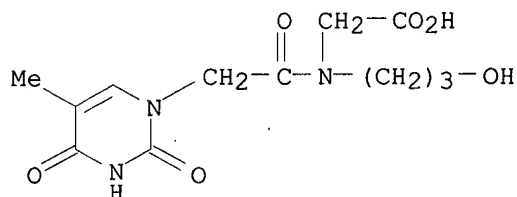
RN 172494-29-0 HCAPLUS

CN Glycine, N-[(4-amino-2-oxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



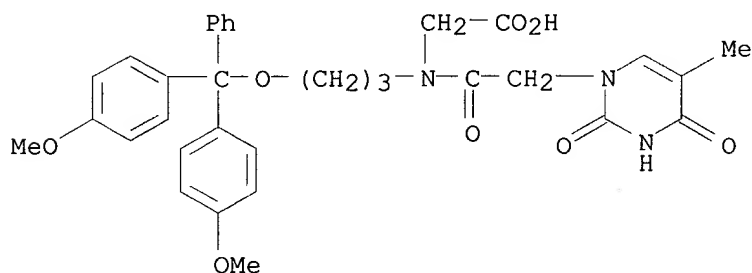
RN 172494-30-3 HCAPLUS

CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)



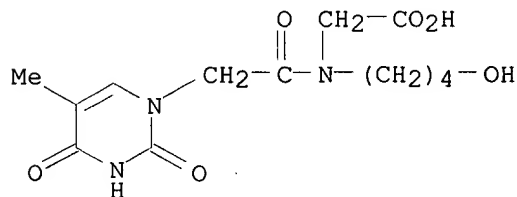
RN 172494-31-4 HCAPLUS

CN Glycine, N-[3-[bis(4-methoxyphenyl)phenylmethoxy]propyl]-N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]- (9CI) (CA INDEX NAME)



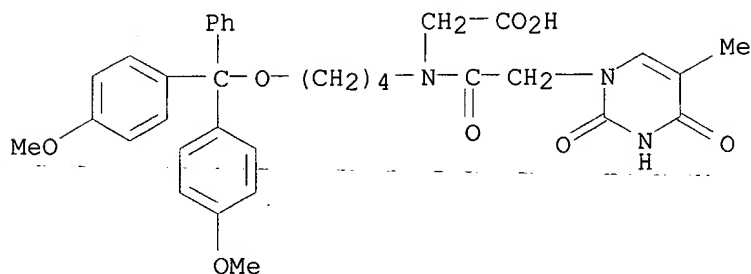
RN 172494-32-5 HCAPLUS

CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)



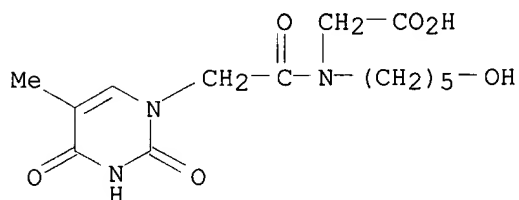
RN 172494-33-6 HCAPLUS

CN Glycine, N-[4-[bis(4-methoxyphenyl)phenylmethoxy]butyl]-N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]- (9CI) (CA INDEX NAME)



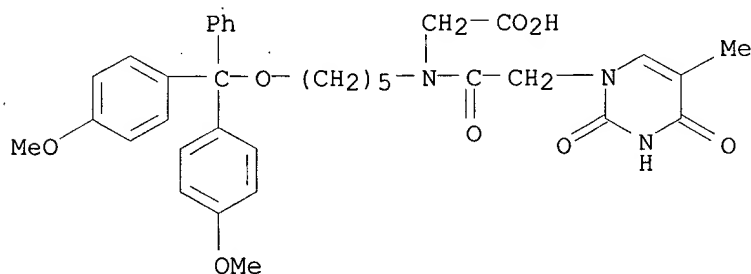
RN 172494-34-7 HCAPLUS

CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-(5-hydroxypentyl)- (9CI) (CA INDEX NAME)



RN 172494-35-8 HCAPLUS

CN Glycine, N-[5-[bis(4-methoxyphenyl)phenylmethoxy]pentyl]-N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]- (9CI) (CA INDEX NAME)



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L12 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS

IC ICM C07H021-00

ICS C08L077-00; C12Q001-68; A61K031-70

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1, 6, 34

ST **polyamide** oligonucleotide prepn drug probe primer; dna pna hybrid mol prepn; gene probe **polyamide** oligonucleotide prepn

IT Neoplasm inhibitors  
Nucleic acid hybridization

## Virucides and Virustats

```

    (prepn. of polyamide-oligonucleotide derivs. as drugs, gene
    probes, and primers)

```

## IT Nucleopeptides

```

    RL: BAC (Biological activity or effector, except adverse); BUU (Biological
    use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
    (prepn. of polyamide-oligonucleotide derivs. as drugs, gene
    probes, and primers)

```

## IT Animal cell

```

    (treatment of diseases influenced by cell-cell adhesion receptors;
    prepn. of polyamide-oligonucleotide derivs. as drugs, gene
    probes, and primers)

```

## IT Integrins

```

    RL: BSU (Biological study, unclassified); BIOL (Biological study)
    (treatment of diseases influenced by integrins; prepn. of
    polyamide-oligonucleotide derivs. as drugs, gene probes, and
    primers)

```

## IT Nucleotides, preparation

```

    RL: BAC (Biological activity or effector, except adverse); BUU (Biological
    use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
    (oligo-, prepn. of polyamide-oligonucleotide derivs. as
    drugs, gene probes, and primers)

```

## IT Nucleotides, preparation

```

    RL: BAC (Biological activity or effector, except adverse); BUU (Biological
    use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
    (oligo-, deoxyribo-, prepn. of polyamide-oligonucleotide
    derivs. as drugs, gene probes, and primers)

```

## IT Heart, disease

```

    (restenosis, treatment; prepn. of polyamide-oligonucleotide
    derivs. as drugs, gene probes, and primers)

```

## IT 175864-54-7P 175864-55-8P

```

    RL: BAC (Biological activity or effector, except adverse); BUU (Biological
    use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
    (prepn. of polyamide-oligonucleotide derivs. as drugs, gene
    probes, and primers)

```

IT 108-30-5, reactions 502-85-2 4048-33-3,  
6-Amino-1-hexanol 20924-05-4 67826-12-4  
98796-51-1 100747-20-4 172405-39-9  
172405-41-3 172405-42-4 172494-26-7  
172494-27-8 172494-28-9

```

    RL: RCT (Reactant)

```

```

    (prepn. of polyamide-oligonucleotide derivs. as drugs, gene
    probes, and primers)

```

IT 114729-83-8P 125697-62-3P 172316-34-6DP, resin  
bound 172316-34-6P 172316-40-4P 172316-42-6P  
172316-45-9P 172405-31-1P 172494-29-0P  
172494-30-3P 172494-31-4P 172494-32-5P  
172494-33-6P 172494-34-7P 172494-35-8P

```

    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
    (prepn. of polyamide-oligonucleotide derivs. as drugs, gene
    probes, and primers)

```

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L12 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:908968 HCAPLUS

DOCUMENT NUMBER: 124:117857

TITLE: The synthesis of **polyamide** nucleic acids using a novel monomethoxytrityl protecting-group strategyAUTHOR(S): Will, David W.; **Breipohl, Gerhard**; Langner, Dietrich; Knolle, Jochen; **Uhlmann, Eugen**

CORPORATE SOURCE: Hoechst AG, Allgemeine Pharma Forschung G838, Frankfurt am Main, D-65926, Germany

SOURCE: Tetrahedron (1995), 51(44), 12069-82

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:117857

AB The prepn. of 4-MeOC<sub>6</sub>H<sub>4</sub>CPh<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>N(COCH<sub>2</sub>R)CH<sub>2</sub>CO<sub>2</sub>Me (R = thymine, N<sub>4</sub>-tert-butylbenzoylcytosine, N<sub>6</sub>-anisoyladenine, N<sub>2</sub>-isobutanoylguanine) for the synthesis of **polyamide** nucleic acids (PNAs) is described. The use of base-labile acyl-type nucleobase protecting groups, including monomethyltrityl N-protection of H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>NhCH<sub>2</sub>CO<sub>2</sub>Me, and of a succinyl-linked solid-support offers a synthetic strategy similar to std. oligonucleotide synthesis conditions. This strategy has been successfully applied for the synthesis of PNAs of mixed base sequence.

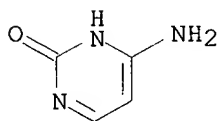
IT 71-30-7, Cytosine 73-24-5, Adenine, reactions 73-40-5 96-32-2, Methyl bromoacetate 107-15-3, 1,2-Ethanediamine, reactions 298-12-4 1710-98-1, 4-tert-Butylbenzoyl chloride 4048-33-3, 6-Aminohexan-1-ol 20924-05-4

RL: RCT (Reactant)

(synthesis of **polyamide** nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

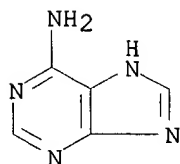
RN 71-30-7 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino- (9CI) (CA INDEX NAME)



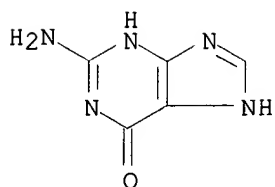
RN 73-24-5 HCAPLUS

CN 1H-Purin-6-amine (9CI) (CA INDEX NAME)

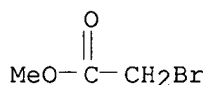


RN 73-40-5 HCAPLUS

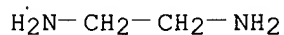
CN 6H-Purin-6-one, 2-amino-1,7-dihydro- (9CI) (CA INDEX NAME)



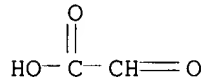
RN 96-32-2 HCAPLUS  
CN Acetic acid, bromo-, methyl ester (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



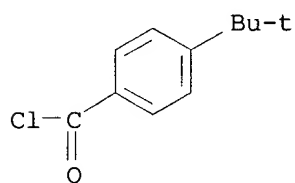
RN 107-15-3 HCAPLUS  
CN 1,2-Ethanediamine (9CI) (CA INDEX NAME)



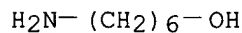
RN 298-12-4 HCAPLUS  
CN Acetic acid, oxo- (9CI) (CA INDEX NAME)



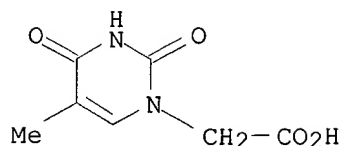
RN 1710-98-1 HCAPLUS  
CN Benzoyl chloride, 4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 4048-33-3 HCAPLUS  
CN 1-Hexanol, 6-amino- (6CI, 8CI, 9CI) (CA INDEX NAME)



RN 20924-05-4 HCAPLUS  
CN 1(2H)-Pyrimidineacetic acid, 3,4-dihydro-5-methyl-2,4-dioxo- (8CI, 9CI)  
(CA INDEX NAME)

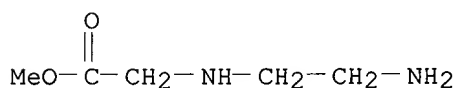


IT 18907-79-4P 21047-89-2P 24123-14-6P,  
N-(2-Aminoethyl)glycine 97025-97-3P 114729-83-8P  
135697-25-5P 170944-06-6P 172316-34-6DP,  
polymer bound 172316-34-6P 172316-36-8P  
172316-40-4P 172316-42-6P 172316-45-9P  
172405-11-7P 172405-12-8P 172405-17-3P  
172405-18-4P 172405-19-5P 172405-20-8P  
172405-21-9P 172405-39-9P 172405-41-3P  
172405-42-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of **polyamide** nucleic acid analogs from  
monomethoxytrityl-protected aminoethylglycine)

RN 18907-79-4 HCAPLUS

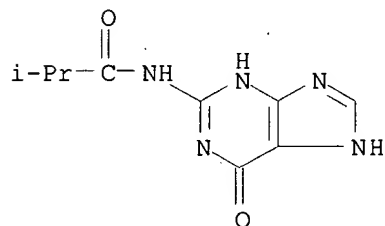
CN Glycine, N-(2-aminoethyl)-, methyl ester, dihydrochloride (8CI, 9CI) (CA  
INDEX NAME)



●2 HCl

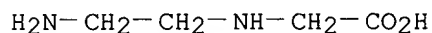
RN 21047-89-2 HCAPLUS

CN Propanamide, N-(6,7-dihydro-6-oxo-1H-purin-2-yl)-2-methyl- (9CI) (CA  
INDEX NAME)



RN 24123-14-6 HCAPLUS

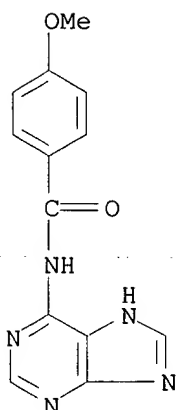
CN Glycine, N-(2-aminoethyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)



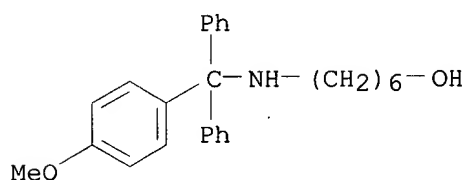
RN 97025-97-3 HCAPLUS

CN Benzamide, 4-methoxy-N-1H-purin-6-yl- (9CI) (CA INDEX NAME)

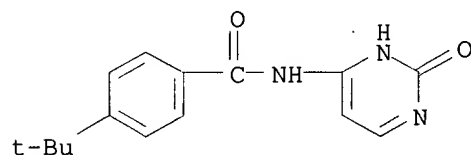




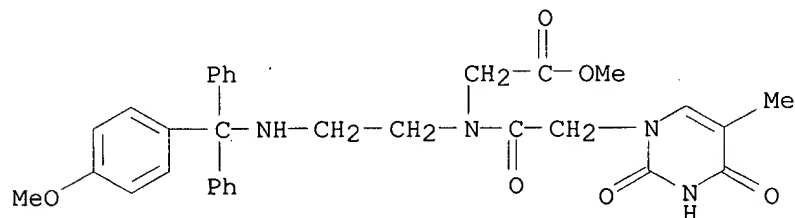
RN 114729-83-8 HCAPLUS  
CN 1-Hexanol, 6-[[ (4-methoxyphenyl)diphenylmethyl]amino]- (9CI) (CA INDEX NAME)



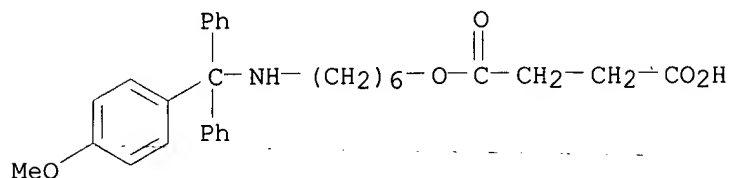
RN 135697-25-5 HCAPLUS  
CN Benzamide, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



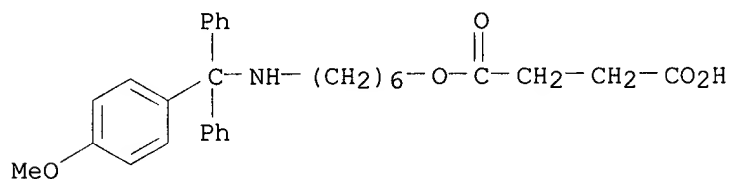
RN 170944-06-6 HCAPLUS  
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[ (4-methoxyphenyl)diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



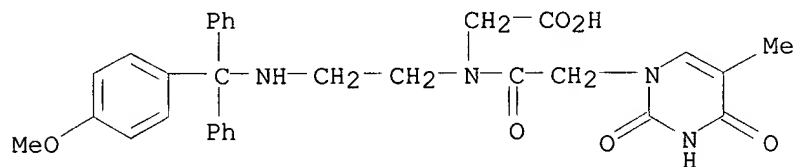
RN 172316-34-6 HCAPLUS  
 CN Butanedioic acid, mono[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]hexyl]  
 ester (9CI) (CA INDEX NAME)



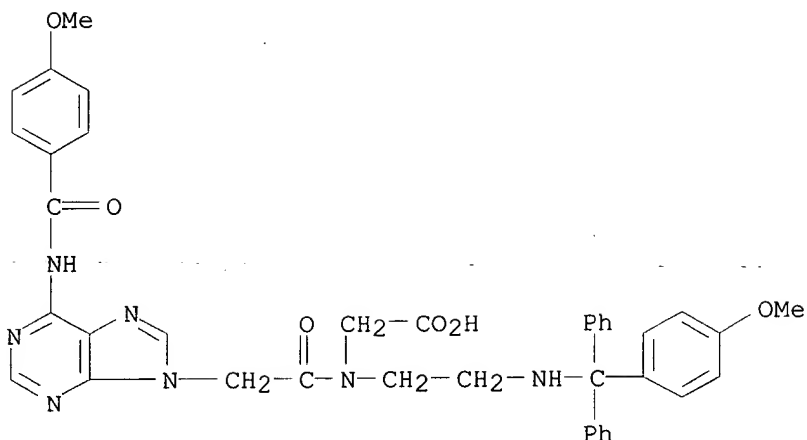
RN 172316-34-6 HCAPLUS  
 CN Butanedioic acid, mono[6-[[ (4-methoxyphenyl)diphenylmethyl]amino]hexyl]  
 ester (9CI) (CA INDEX NAME)



RN 172316-36-8 HCAPLUS  
 CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[2-[[ (4-methoxyphenyl)diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

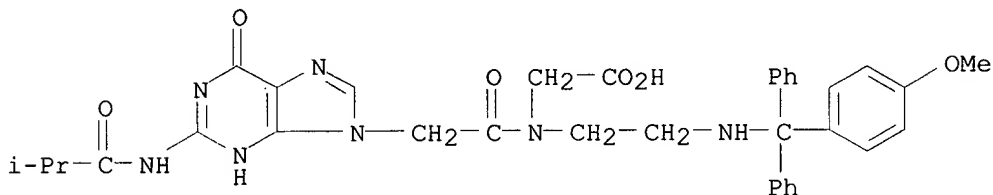


RN 172316-40-4 HCAPLUS  
 CN Glycine, N-[[6-[[ (4-methoxybenzoyl)amino]-9H-purin-9-yl]acetyl]-N-[2-[[ (4-methoxyphenyl)diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



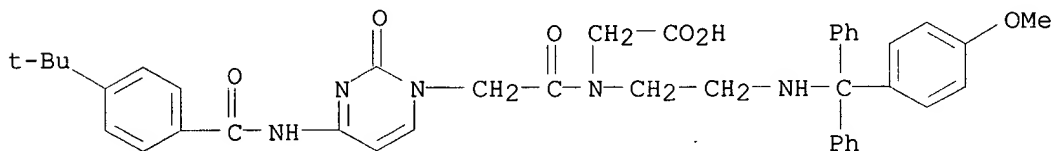
RN 172316-42-6 HCAPLUS

CN Glycine, N-[[[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[[4-methoxyphenyl]diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



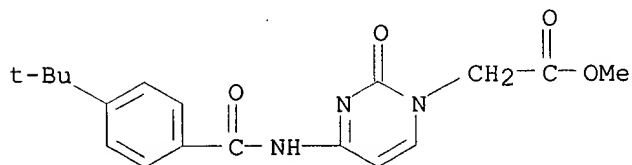
RN 172316-45-9 HCAPLUS

CN Glycine, N-[[[4-[[[4-(1,1-dimethylethyl)benzoyl]amino]-2-oxo-1(2H)-pyrimidin-4-yl]acetyl]-N-[2-[[[4-methoxyphenyl]diphenylmethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



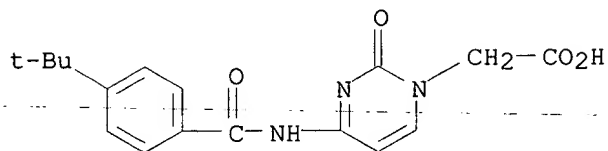
RN 172405-11-7 HCAPLUS

CN 1(2H)-Pyrimidineacetic acid, 4-[[[4-(1,1-dimethylethyl)benzoyl]amino]-2-oxo-1(2H)-pyrimidin-4-yl]-, methyl ester (9CI) (CA INDEX NAME)



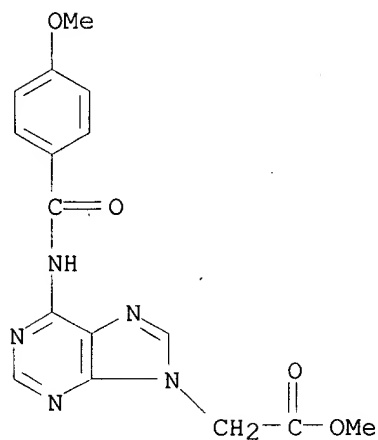
RN 172405-12-8 HCAPLUS

CN 1(2H)-Pyrimidineacetic acid, 4-[[4-(1,1-dimethylethyl)benzoyl]amino]-2-oxo-  
(9CI) (CA INDEX NAME)



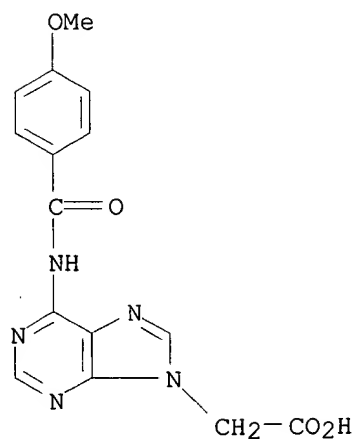
RN 172405-17-3 HCAPLUS

CN 9H-Purine-9-acetic acid, 6-[(4-methoxybenzoyl)amino]-, methyl ester (9CI)  
(CA INDEX NAME)



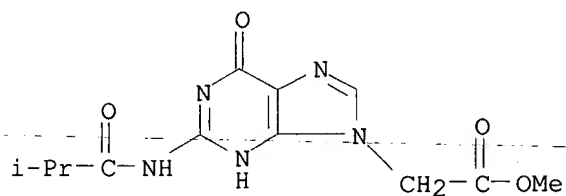
RN 172405-18-4 HCAPLUS

CN 9H-Purine-9-acetic acid, 6-[(4-methoxybenzoyl)amino]- (9CI) (CA INDEX  
NAME)



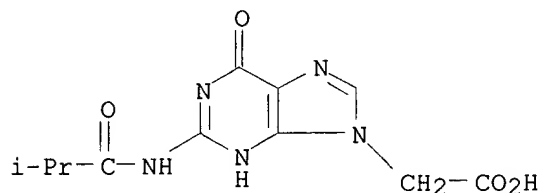
RN 172405-19-5 HCAPLUS

CN 9H-Purine-9-acetic acid, 1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



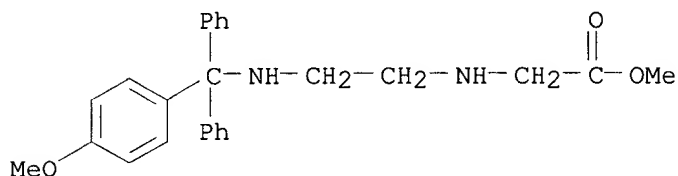
RN 172405-20-8 HCAPLUS

CN 9H-Purine-9-acetic acid, 1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo- (9CI) (CA INDEX NAME)



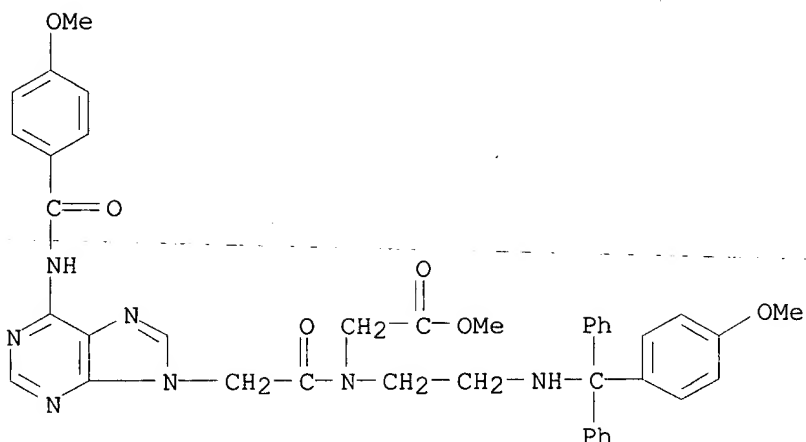
RN 172405-21-9 HCAPLUS

CN Glycine, N-[2-[[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



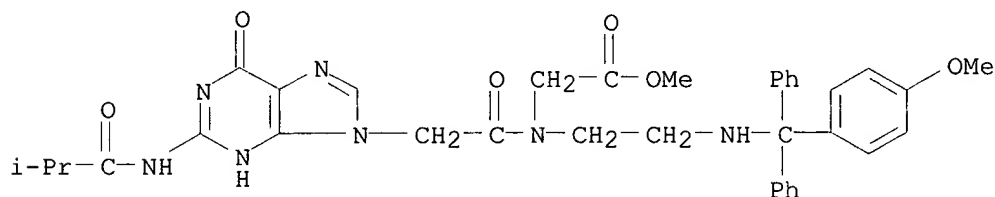
RN 172405-39-9 HCAPLUS

CN Glycine, N-[[6-[(4-methoxybenzoyl)amino]-9H-purin-9-yl]acetyl]-N-[2-[[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



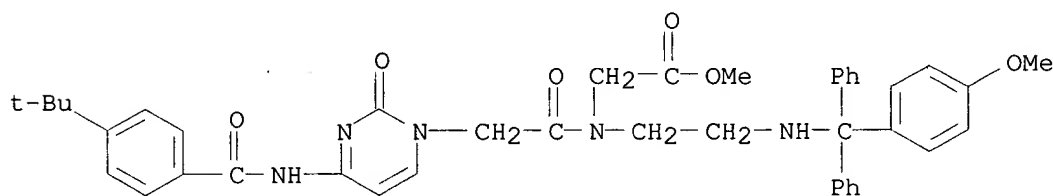
RN 172405-41-3 HCAPLUS

CN Glycine, N-[[[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]acetyl]-N-[2-[[[4-methoxyphenyl]diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 172405-42-4 HCAPLUS

CN Glycine, N-[[[4-[[[4-(1,1-dimethylethyl)benzoyl]amino]-2-oxo-1(2H)-pyrimidinyl]acetyl]-N-[2-[[[4-methoxyphenyl]diphenylmethyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 172316-39-1P

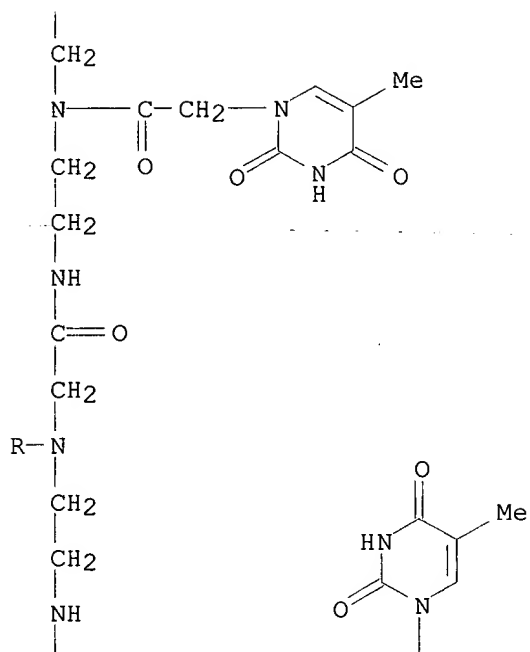
RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of **polyamide** nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

RN 172316-39-1 HCAPLUS

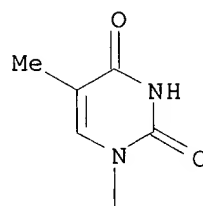
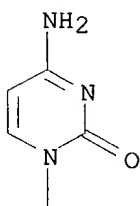
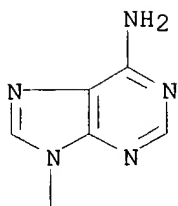
CN Peptide nucleic acid, (H-A-C-A-T-C-A-T-G-G-T-C-G)-(6-hydroxyhexyl)NH (9CI)  
(CA INDEX NAME)



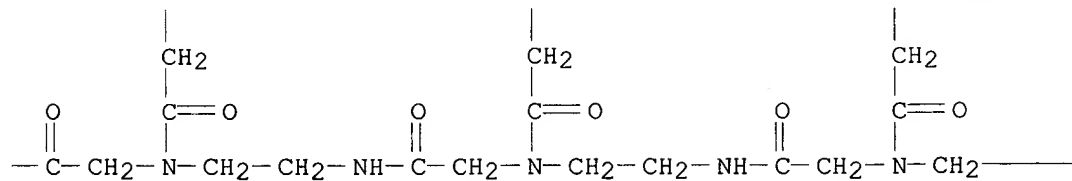
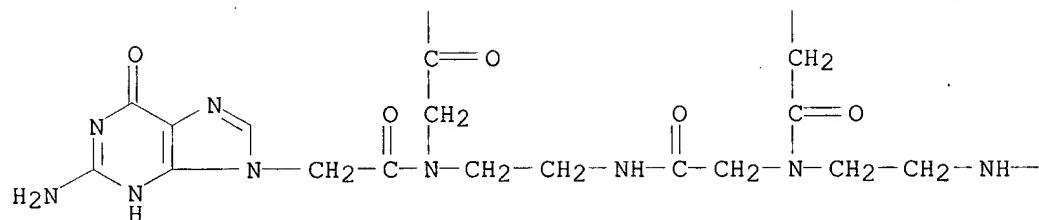
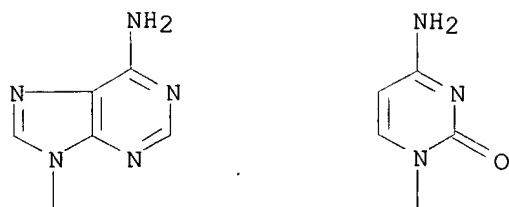
PAGE 3-A



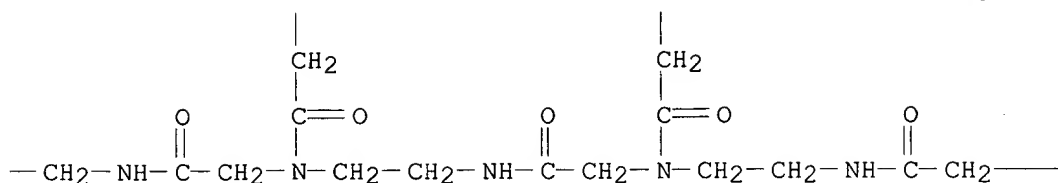
PAGE 3-B



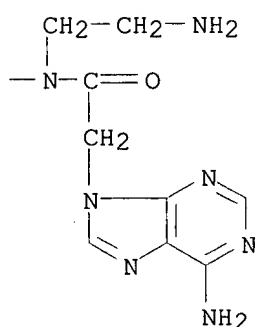




PAGE 4-C



PAGE 4-D



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L12 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS

CC 33-9 (Carbohydrates)

ST **polyamide** nucleic acid analog prepn; monomethoxytrityl amine protecting group aminoethylglycine; solid phase synthesis  
**polyamide** oligonucleotide analog

IT Nucleic acids

RL: SPN (Synthetic preparation); PREP (Preparation)

(analog, synthesis of **polyamide** nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

IT Protective groups

(methoxytrityl, for amine in aminoethylglycine)

IT 71-30-7, Cytosine 73-24-5, Adenine, reactions

73-40-5 96-32-2, Methyl bromoacetate 107-15-3,  
 1,2-Ethanediamine, reactions 298-12-4 1710-98-1,  
 4-tert-Butylbenzoyl chloride 4048-33-3, 6-Aminohexan-1-ol  
 20924-05-4

RL: RCT (Reactant)

(synthesis of **polyamide** nucleic acid analogs from monomethoxytrityl-protected aminoethylglycine)

IT 18907-79-4P 21047-89-2P 24123-14-6P,

N-(2-Aminoethyl)glycine 97025-97-3P 114729-83-8P

135697-25-5P 170944-06-6P 172316-34-6DP,

polymer bound 172316-34-6DP, polymer-bound 172316-34-6P

172316-36-8P 172316-40-4P 172316-42-6P

172316-45-9P 172405-11-7P 172405-12-8P

172405-17-3P 172405-18-4P 172405-19-5P

172405-20-8P 172405-21-9P 172405-39-9P

172405-41-3P 172405-42-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of **polyamide** nucleic acid analogs from  
monomethoxytrityl-protected aminoethylglycine)

IT 172316-39-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of **polyamide** nucleic acid analogs from  
monomethoxytrityl-protected aminoethylglycine)